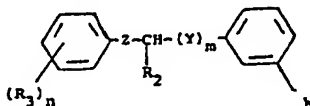


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(54) 3-substituted aniline derivatives

(57) The invention relates to compounds of the formula;



Wherein W is a group of the formula



NH<sub>2</sub>, NCO or NO<sub>2</sub>  
 wherein R<sub>1</sub> is a lower alkyl, C<sub>3</sub>—C<sub>5</sub>  
 cycloalkyl, lower alkenyl, lower  
 haloalkyl, lower cyanoalkyl, lower  
 alkoxy, C<sub>3</sub>—C<sub>5</sub> cycloalkyloxy, lower  
 alkynyl, lower haloalkyloxy, lower  
 alkenyloxy, lower alkynyloxy, lower  
 alkylthio, lower alkenylthio, lower  
 alkynylthio, C<sub>1</sub>—C<sub>2</sub> alkoxy(C<sub>1</sub>—C<sub>2</sub>)—  
 alkyl, C<sub>1</sub>—C<sub>2</sub> alkylthio(C<sub>1</sub>—C<sub>2</sub>)alkyl,  
 lower haloalkynyloxy, C<sub>1</sub>—C<sub>2</sub>

alkoxy(C<sub>1</sub>—C<sub>2</sub>)alkyloxy or 2-  
 furfuryloxy group R<sub>2</sub> is a hydrogen  
 atom or a C<sub>1</sub>—C<sub>2</sub> alkyl group, each R<sub>3</sub>  
 independently is a hydrogen or  
 halogen atom or a C<sub>1</sub>—C<sub>4</sub> alkyl,  
 C<sub>1</sub>—C<sub>3</sub> alkoxy, C<sub>1</sub>—C<sub>3</sub> haloalkyl or  
 C<sub>1</sub>—C<sub>3</sub> alkylthio, group n is an integ r  
 from 1 to 5 provided that n is not  
 greater than 3 when R<sub>2</sub> is other than  
 fluorine, Y is oxygen or sulfur, m is 0  
 or 1 and Z is an oxygen or sulfur atom,  
 a straight or branched C<sub>1</sub>—C<sub>6</sub> alkylene  
 group, or a straight or branched  
 C<sub>1</sub>—C<sub>5</sub> alkylene group having one or  
 more atoms of oxygen and/or sulfur at  
 the end of and/or within the carbon  
 chain; and their preparation. The  
 compounds wherein W is a group of  
 the formula

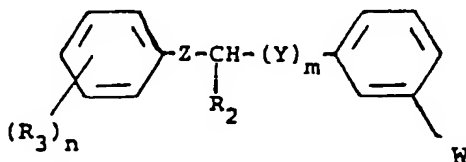


are useful as selective  
 herbicides and/or fungicides, and the  
 remainder are useful as intermediates  
 for their preparation.

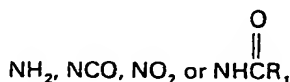
## SPECIFICATION

## 3-substituted aniline derivativ s

This invention relates to compounds of the formula



5 wherein W is a group of the formula



wherein  $R_1$  is a lower alkyl,  $C_3-C_5$  cycloalkyl, lower alkenyl, lower haloalkyl, lower cyanoalkyl, lower alkoxy,  $C_3-C_5$  cycloalkyloxy, lower alkynyl, lower haloalkyloxy, lower alkenyloxy, lower alkynyloxy, lower alkylthio, lower alkenylthio, lower alkynylthio,  $C_1-C_2$  alkoxy ( $C_1-C_2$ ) alkyl,  $C_1-C_2$  alkylthio ( $C_1-C_2$ ) alkyl, lower haloalkynyloxy,  $C_1-C_2$  alkoxy ( $C_1-C_2$ ) alkyloxy or 2-furfuryloxy group,  $R_2$  is a hydrogen atom or a  $C_1-C_2$  alkyl group, each  $R_3$  independently is a hydrogen or halogen atom or a  $C_1-C_4$  alkyl,  $C_1-C_3$  alkoxy,  $C_1-C_3$  haloalkyl or  $C_1-C_3$  alkylthio,  $n$  is an integer from 1 to 5 provided that  $n$  is not greater than 3 when  $R_3$  is other than fluorine,  $Y$  is oxygen or sulfur,  $m$  is 0 or 1 and  $Z$  is an oxygen or sulfur atom a straight or branched  $C_1-C_8$  alkylene group, or a straight or branched  $C_1-C_5$  alkylene group having one or more atoms of oxygen and/or sulfur at the end of and/or within the carbon chain.

Throughout this specification, the term "lower" used in connection with any group such as alkyl, alkenyl, haloalkyl, cyanoalkyl, alkoxy, alkynyl, haloalkyloxy, alkenyloxy, alkynyloxy, alkylthio, alkenylthio, alkynylthio, alkylthioalkyl, haloalkynyloxy or alkoxyalkyloxy is intended to mean a group having not more than 8 carbon atoms, preferably not more than 5 carbon atoms.

Specific examples of the substituent represented by the symbol  $R_1$  are methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, 1-methylbutyl, cyclopropyl, cyclobutyl, cyclopentyl, chloromethyl, bromomethyl, 1-chloroethyl, 2-chloroethyl, 1-chloropropyl, 2-chloropropyl, 3-chloropropyl, vinyl, allyl, methallyl, dimethylallyl, ethynyl, propargyl, methoxymethyl, ethoxymethyl, n-propoxymethyl, isopropoxymethyl, methylethyl, ethoxyethyl, n-propoxyethyl, isopropoxyethyl, methylthiomethyl, ethylthiomethyl, n-propylthiomethyl, n-butylthiomethyl, methylthioethyl, ethylthioethyl, n-propylthioethyl, isopropylthioethyl, methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy, n-pentoxo, cyclopropyloxy, cyclobutyloxy, cyclobutylmethyloxy,  $\alpha$ -methylcyclopropanemethyloxy, 2-chloroethyloxy, 1-chloropropoxy, 2-chloropropoxy, 3-chloropropoxy, 2-bromoethyloxy, vinyloxy, 3-methylallyloxy, methallyloxy,  $\alpha$ -propargyloxy, methylpropynyloxy, 4-chloro-2-butyloxy, methoxyethyloxy, 2-ethoxyethyloxy, methylthio, ethylthio and n-propylthio. Examples of the substituent represented by the symbol  $R_3$  are hydrogen, chlorine, bromine, iodine, fluorine, methyl, ethyl, n-propyl, isopropyl, n-butyl, tert-butyl, methoxy, ethoxy, isopropoxy, trifluoromethyl, pentafluoromethyl, methylthio and ethylthio. We have discovered that compounds of the above formula when W is a group of the formula



(hereinafter referred to as compounds (I)) are useful as selective herbicides and fungicides. The other compounds within the above definition are useful as intermediates for the preparation of the compounds (I). There is great difficulty in the development of selective herbicides for foliar application in herbicides capable of controlling weeds without damaging crop plants by application to the foliage of both. In addition, there are few commercially available herbicides which are effective against rust fungus and the like.

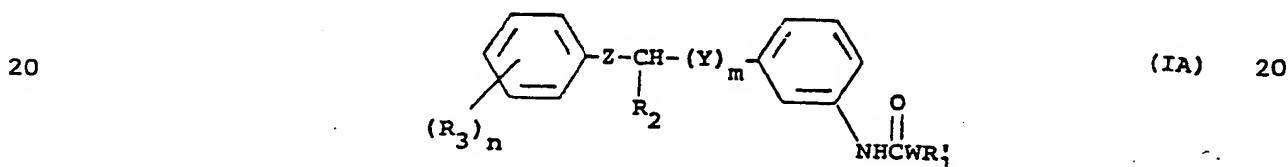
It has been found that the compounds (I) produce a herbicidal activity by pre-emergence and/or post-emergence treatment. The herbicidal activity of the compounds (I) is particularly pronounced in post-emergence treatment. Advantageously, the compounds (I) are selective to crop plants such as cotton, soybean, rice, corn, wheat and sugarbeet. Consequently, they can be used as herbicides in fields

of paddy rice, upland rice, soybean, cotton, sugarbeet, corn, wheat, etc. as well as those of vegetables. Furthermore, they may be applied to orchards, mulberry fields, non-cultivated lands, pasture lands and forests, etc.

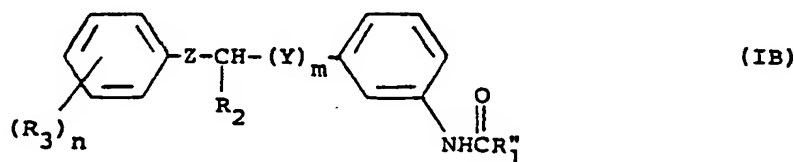
It has also been found that the compounds (I) exert excellent preventive and inhibitive effects against various plant diseases in crop plants, fruit trees, etc. Examples of the plant diseases, for which the compounds (I) are particularly effective, are powdery mildew in apples, pears, grapes, oranges, cucumbers, melons, wheats, etc., down mildew in grapes, oranges, cucumbers, melons, etc., yellow in root crops such as radish and rust in wheats, beans, etc. Their preventive and inhibitive effects on rust are particularly remarkable; examples of rust are stripe rust in barleys and wheats caused by *Puccinia striiformis*, stem rust in wheats caused by *Puccinia recondita*, crown rust in oats caused by *Puccinia coronata*, rust in soybeans caused by *Uromyces sojae*, rust in kidney beans caused by *Uromyces appendiculatus* and rust in coffee caused by *Hemileia vastatrix*. Compared with conventional fungicides, the compounds (I) are characteristic in having not only a preventive effect but also a curative effect. Thus, they are useful as fungicides.

Accordingly, the compounds (I) of the present invention may be employed not only as herbicides but also as fungicides. Particularly when they are used in cultivation of paddy rice plants, upland rice plants, cottons, soybeans, corns, wheats, barleys, etc., the simultaneous production of herbicidal action and fungicidal action can be expected.

The compounds (I) of the present invention include those of the formulae:



wherein  $R_2$ ,  $R_3$ ,  $Y$ ,  $Z$ ,  $m$  and  $n$  are each as defined above,  $R_1$  is lower alkyl,  $C_3-C_5$  cycloalkyl, lower haloalkyl, lower alkenyl, lower alkynyl, lower haloalkynyl,  $C_1-C_2$  alkoxy( $C_1-C_2$ )alkyl,  $C_1-C_2$  alkylthio( $C_1-C_2$ )alkyl or 2-furfuryl and  $W$  is oxygen or sulfur, and

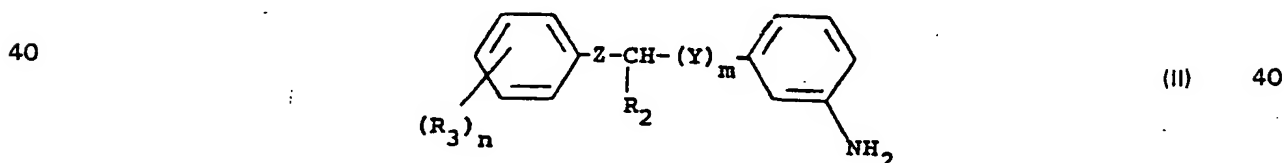


wherein  $R_2$ ,  $R_3$ ,  $Y$ ,  $Z$ ,  $m$  and  $n$  are each as defined above and  $R_1$  is lower alkyl,  $C_3-C_5$  cycloalkyl, lower alkenyl, lower haloalkyl, lower cyanoalkyl, lower alkynyl,  $C_1-C_2$  alkoxy( $C_1-C_2$ )alkyl or  $C_1-C_2$  alkylthio( $C_1-C_2$ )alkyl.

Also preferred are the compounds of formula (I), wherein  $n$  is 1 and  $R_3$  is present at the 3- or 4-position, those wherein  $n$  is 2 and the two  $R_3$  substituents are present at the 3- and 4-positions or at the 3- and 5-positions, and those wherein  $n$  is 3 and the three  $R_3$  substituents are present at the 3-, 4- and 5-positions.  $R_1$  is preferably lower alkyl,  $C_3-C_5$  cycloalkyl, lower alkenyl or lower alkoxy. When  $m$  is zero,  $Z$  is preferably oxygen or sulfur, and when  $m$  is one,  $Z$  is preferably  $C_1-C_3$  alkylene. Specific examples of the preferred compounds represented by the formula (I) are N-[3-(3,4-dichlorophenylethoxy)phenyl]propionamide, N-[3-(3,4-dichlorophenylpropyloxy)phenyl]propionamide, N-[3-(3,5-dichlorophenylethoxy)phenyl]propionamide, N-[3-(2-chlorophenylethoxy)phenyl]propionamide, N-[3-(2-methylphenylpropyloxy)phenyl]propionamide, N-[3-(3,4-dichlorophenylpropyloxy)phenyl]cyclopropylamide, and N-[3-(3-chlorophenylethoxy)phenyl]cyclopropylamide.

The compounds (I) are novel and can be produced, for instance, by the following methods:

(A) A method comprising reacting an aniline derivative of the formula:



wherein  $R_2$ ,  $R_3$ ,  $Y$ ,  $Z$ ,  $m$  and  $n$  are each as defined above, with a reactive derivative on an acid of the formula:



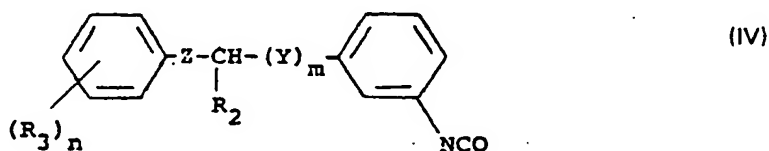
wherein  $R_1$  is as defined above.

5 The reactive derivative includes acid halides, haloformates, acid anhydrides, esters, tosylates and the like commonly used for this type of reaction. 5

When an acid halide or a haloformate is used, the reaction may be carried out in a solvent in the presence of a dehydrohalogenating agent. The solvent may be an organic solvent (e.g. benzene, toluene, xylene, diethyl ether, tetrahydrofuran, dioxane, N,N-dimethylformamide, acetone, methyl ethyl ketone, 10 chloroform, carbon tetrachloride, isopropanol, t-butanol, ethyl acetate, dimethyl sulfoxide), water or mixture thereof. Examples of the dehydrohalogenating agent are organic bases (e.g. pyridine, pyrimidine, piperidine, triethylamine, tributylamine), alkali metal hydrogen carbonates (e.g. sodium 10 hydrogen carbonate, potassium hydrogen carbonate), alkali metal carbonates (e.g. sodium carbonate, potassium carbonate), alkali metal or alkaline earth metal hydroxides (e.g. sodium hydroxide, potassium hydroxide, calcium hydroxide), etc. The reaction temperature may be usually from 0 to 100°C. The 15 reaction may take place almost instantaneously, or may take as long as 10 hours. 15

When an acid anhydride is used, the reaction may be carried out in an organic solvent in the presence of a condensing agent. Examples of the organic solvent are benzene, toluene, xylene, isopropanol, t-butanol, diethyl ether, tetrahydrofuran, dioxane, dichloromethane, carbon tetrachloride, 20 ethyl acetate, N,N-dimethylformamide and mixtures thereof. Examples of the condensing agent are 20 pyridine, pyrimidine, piperidine, triethylamine, tributylamine and the like. The reaction temperature may be usually from 20 to 150°C. The reaction comes to an end in from 1 to 10 hours.

(B) A method comprising reacting a phenylisocyanate derivative of the formula:



25 wherein  $R_2$ ,  $R_3$ ,  $Y$ ,  $Z$ ,  $m$  and  $n$  are each as defined above, with an alcohol or thiol derivative of the formula: 25



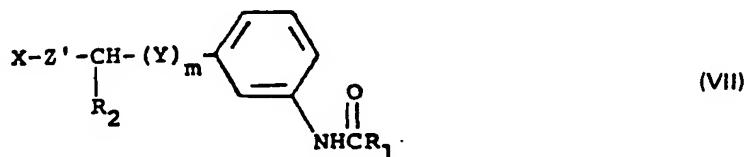
wherein  $R'_1$  and  $W$  are each as defined above.

30 This reaction may be carried out in an organic solvent (e.g. benzene, toluene, xylene, diethyl ether, tetrahydrofuran, dioxane, N,N-dimethylformamide, chloroform, carbon tetrachloride). The reaction 30 temperature is usually from 0 to 100°C. The reaction may take place almost instantaneously or may take as long as 10 hours.

(C) A method comprising reacting the alkali metal salt of a phenol derivative of the formula:



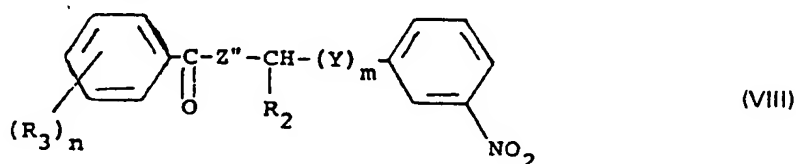
wherein  $R_3$  and  $n$  are each as defined above,  $W'$  is oxygen or sulfur and  $M$  is alkali metal, with a halide of 35 the formula:



wherein  $R_1$ ,  $R_2$ ,  $Y$  and  $m$  are each as defined above,  $Z'$  is straight or branched  $C_1-C_5$  alkylene or straight or branched  $C_1-C_5$  alkylene having no less than one atom of oxygen and/or sulfur inside the carbon chain and  $X$  is halogen.

This reaction may be carried out in an organic solvent (e.g. benzene, toluene, xylene, diethyl ether, tetrahydrofuran, dioxane, chloroform, carbon tetrachloride, ethyl acetate, methanol, ethanol, isopropanol,  $N,N$ -dimethylformamide). The reaction temperature is usually from 20 to 150°C. The reaction comes to an end in from 1 to 10 hours.

(D) A method comprising reducing catalytically a nitrobenzene derivative of the formula:



wherein  $R_2$ ,  $R_3$ ,  $Y$ ,  $m$  and  $n$  are each as defined above and  $Z''$  is a direct linkage or straight or branched  $C_1-C_5$  alkylene in the presence of an aliphatic acid anhydride of the formula:

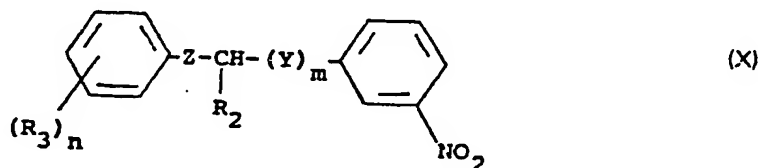


wherein  $R_1$  is as defined above.

As the catalyst in the reduction, there may be used a metal catalyst such as palladium-carbon, platinum oxide or Raney nickel. The reduction is ordinarily carried out in a solvent at a temperature from room temperature to heated temperature under atmospheric or elevated pressure. As the solvent, an aliphatic acid corresponding to the aliphatic acid anhydride as the reagent is preferably employed. Tetrahydrofuran, dioxane, benzene, toluene, etc. may be also employed as the solvent.

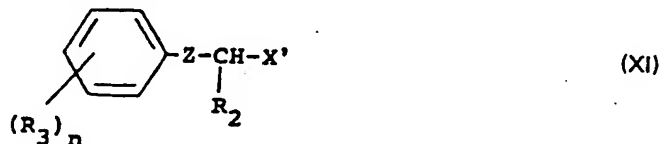
Some of the starting materials for the above methods, are described in British Patent Application No. 41843/78.

The aniline derivatives (II) are obtainable by reduction of the corresponding nitrobenzene derivative of the formula:

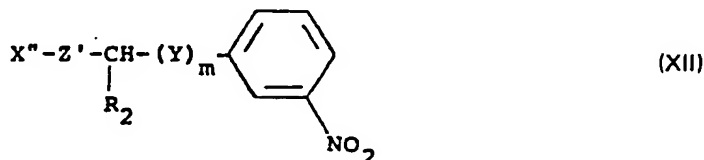


wherein  $R_2$ ,  $R_3$ ,  $Y$ ,  $Z$ ,  $m$  and  $n$  are each as defined above. The reduction may be accomplished by any conventional reduction procedure such as catalytic reduction using platinum oxide, platinum black, Raney nickel, palladium black or the like, reduction with a metal (e.g. tin, iron, zinc) and an acid (e.g. hydrochloric acid, sulfuric acid), reduction with a metal (e.g. sodium, lithium, aluminum, magnesium, zinc) in an alcohol, reduction with a metal (e.g. sodium, zinc) and an aqueous or alcoholic alkali, reduction with an inorganic compound (e.g. stannous chloride, ferrous sulfate, ferrous hydroxide, sodium sulfide, sodium polysulfide, ammonium sulfide, hydrogen sulfide), reduction with a hydrazine compound (e.g. hydrazine, phenylhydrazine) or reduction with a metal hydride complex (e.g. lithium aluminum hydride, sodium borohydride). For example catalytic reduction using platinum oxide may be effected by treatment with hydrogen in an inert solvent (e.g. benzene, toluene, methanol, ethanol, isopropanol, tetrahydrofuran, dioxane) under atmospheric or elevated pressure for a period of from 30 minutes to 10 hours.

The said nitrobenzene derivative (X) is obtainable by reacting a halide of the formula:



wherein  $R_2$ ,  $R_3$ ,  $Z$  and  $n$  are each as defined above and  $X'$  is halogen with *m*-nitrophenol or *m*-nitrothiophenol, or reacting a nitrobenzene derivative of the formula:



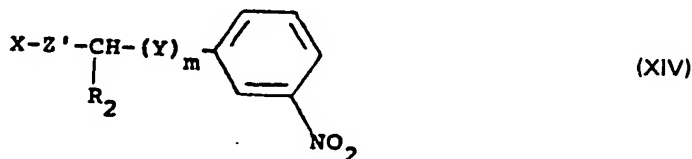
wherein  $R_2$ ,  $Z'$ ,  $Y$  and  $m$  are each as defined above and  $X''$  is halogen with a phenol or thiophenol derivative of the formula:



wherein  $R_3$ ,  $W'$  and  $n$  are each as defined above. The reaction is usually effected in an inert solvent such as water, benzene, toluene, xylene, dimethylformamide, dimethylsulfoxide, ethanol or isopropanol. Preferably, the phenol or thiophenol compound as the reagent is used in the form of an alkali metal salt.

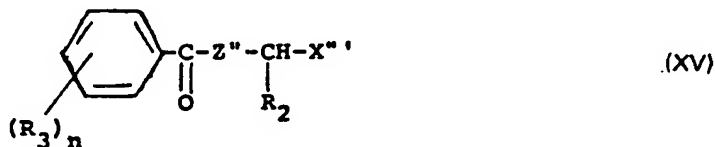
10 The phenylisocyanate derivative (IV) is obtainable by reacting the aniline derivative (II) with phosgene. The reaction is ordinarily effected in an organic solvent (e.g. benzene, toluene, xylene, tetrahydrofuran, dioxane, chloroform, carbon tetrachloride, ethyl acetate) at a temperature from room temperature to reflux temperature in a moment to in 10 hours.

15 The halide (VII) is obtainable by reducing the corresponding nitrobenzene derivative of the formula:



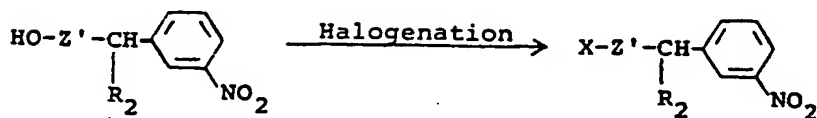
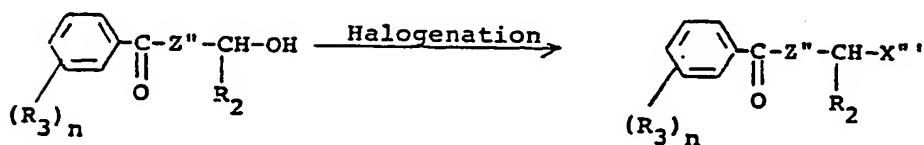
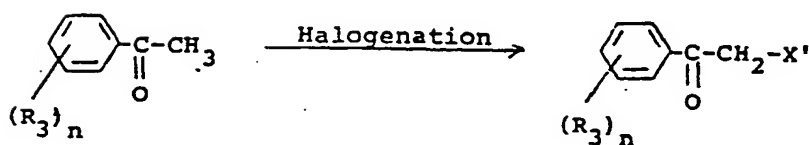
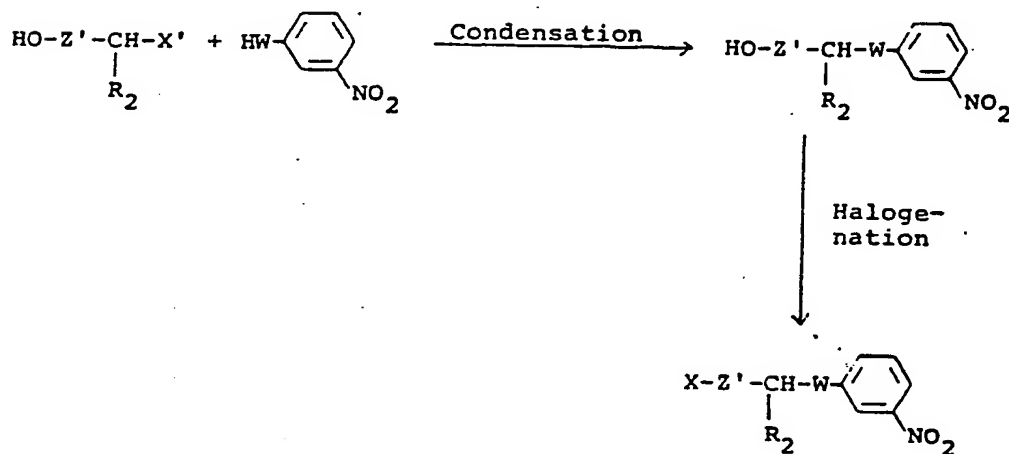
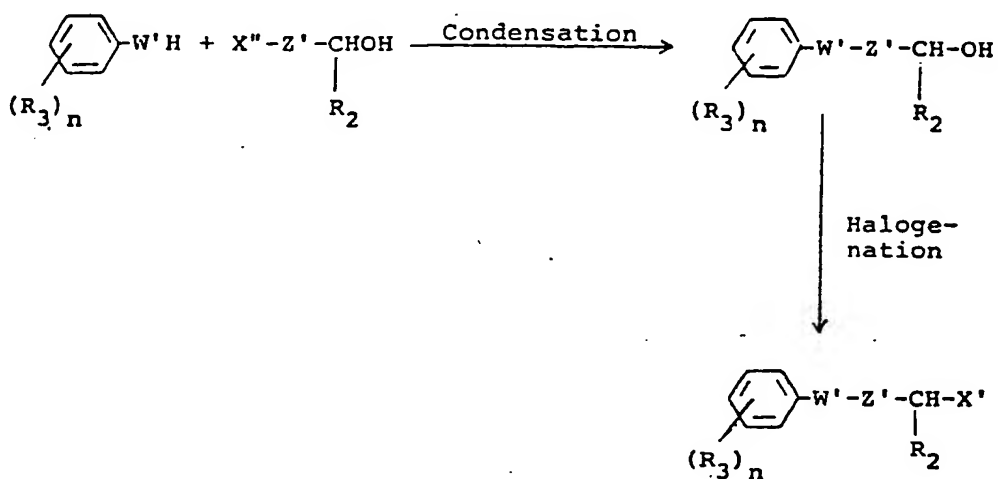
wherein  $R_2$ ,  $Z'$ ,  $X$ ,  $Y$  and  $m$  are each as defined above to the corresponding aniline derivative, followed by acylation or carbamylation of the latter. The reduction may be effected in the same manner as in the conversion of the nitrobenzene derivative (X) into the aniline derivative (II). The acylation or carbamylation may be carried out in the same manner as in Method (A).

20 The nitrobenzene derivative (VIII) wherein  $m$  is 1 is obtainable by reacting a halide of the formula:



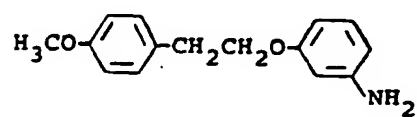
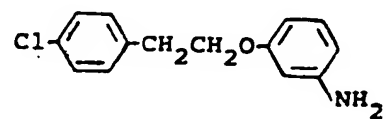
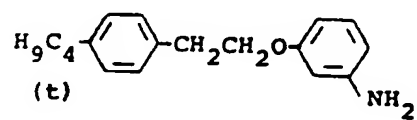
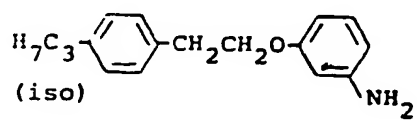
25 wherein  $R_2$ ,  $R_3$ ,  $Z''$  and  $n$  are each as defined above and  $X'''$  is halogen with *m*-nitrophenol or *m*-nitrothiophenol. The reaction may be achieved in the same manner as in the production of the nitrobenzene derivative (X) from the halide (XI) with *m*-nitrophenol or *m*-nitrothiophenol.

Still, the reagents used in the above preparation processes may be synthesized, for instance, according to the following scheme:

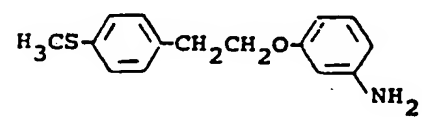


wherein  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{W}$ ,  $\text{W}'$ ,  $\text{X}$ ,  $\text{X}'$ ,  $\text{X}''$ ,  $\text{X}'''$ ,  $\text{Z}'$ ,  $\text{Z}''$  and  $n$  are each as defined above.

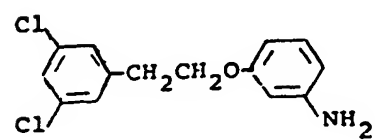
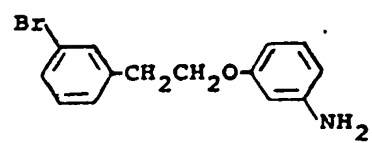
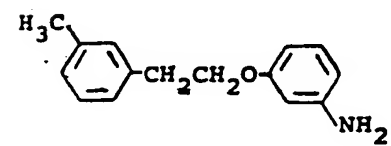
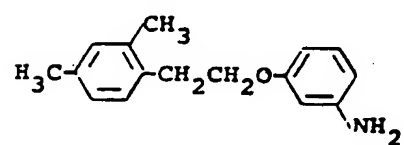
As understood from the above, the most important key intermediates in various starting materials and reagents are the aniline derivatives (II), of which the following are examples, which are referred to hereinafter as compounds II—1 to II—113 respectively.



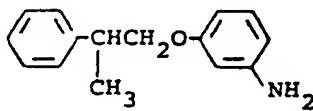
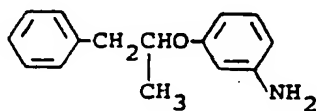
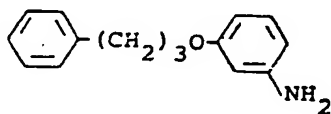
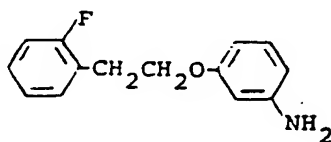
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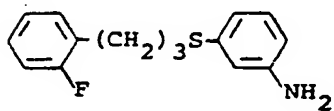
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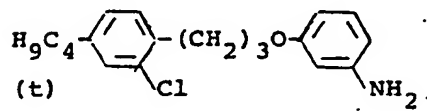
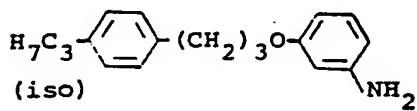
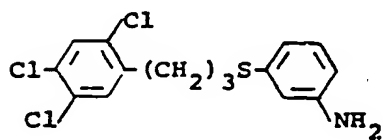
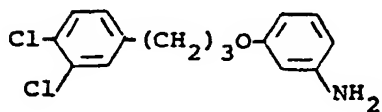




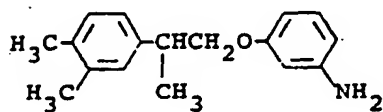
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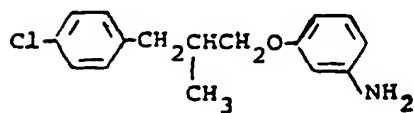
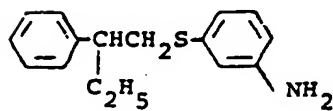
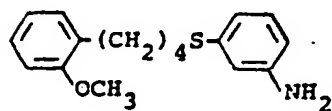
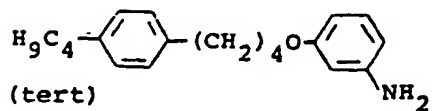
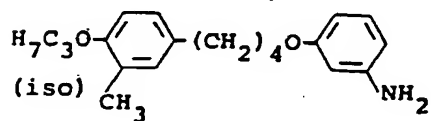
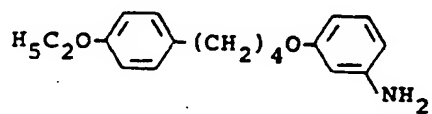
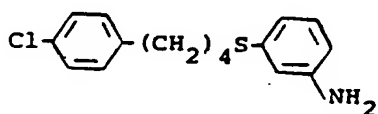
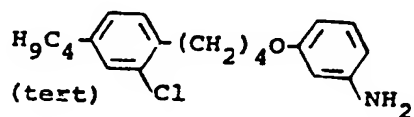
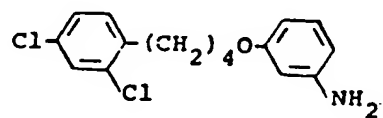
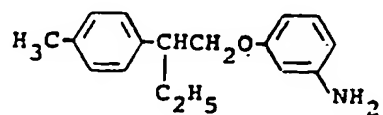
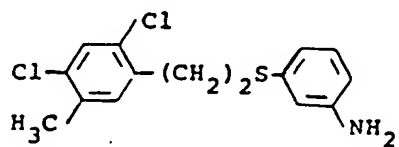
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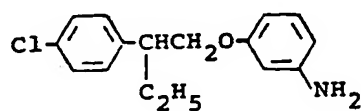
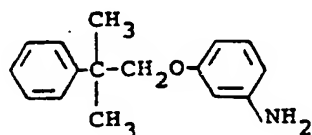
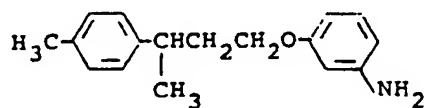
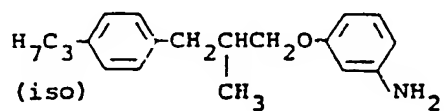


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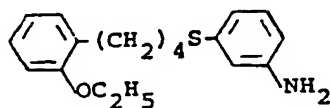
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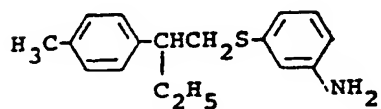
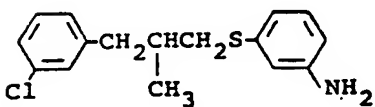
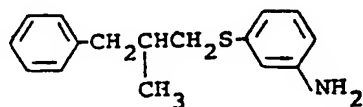
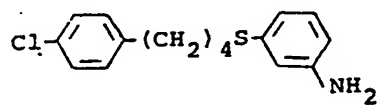
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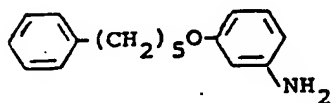
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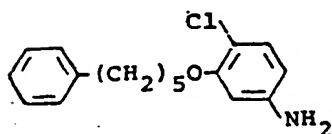
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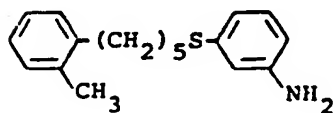
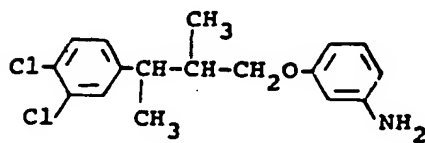
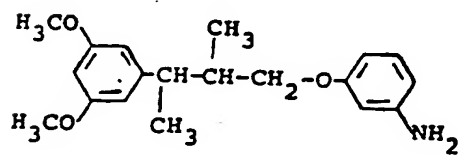
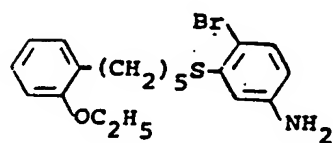
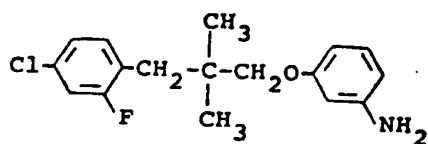
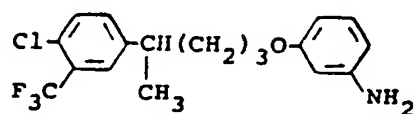
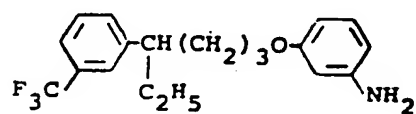
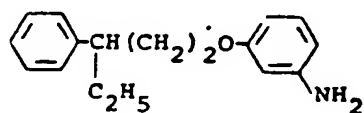
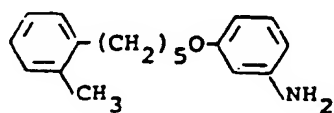
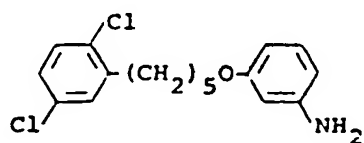


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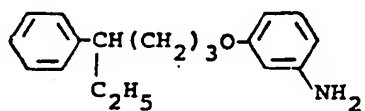
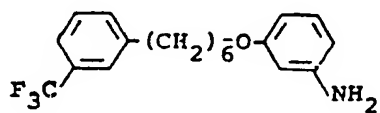
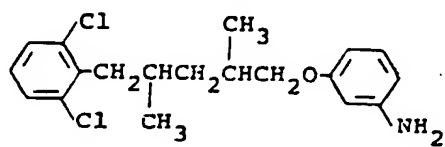
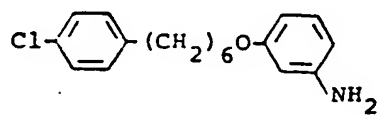


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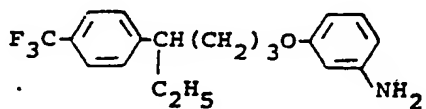
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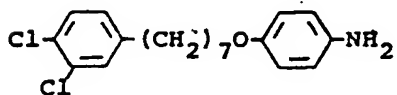
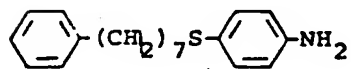
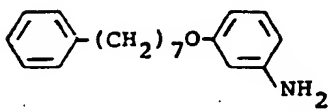
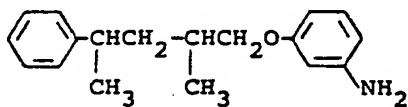
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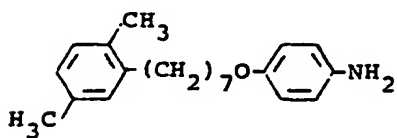
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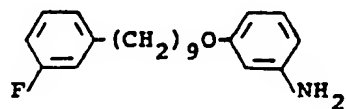
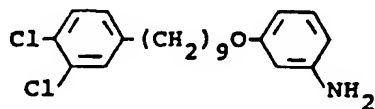
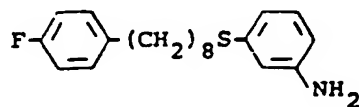
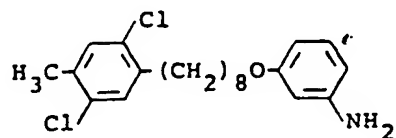
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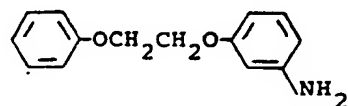
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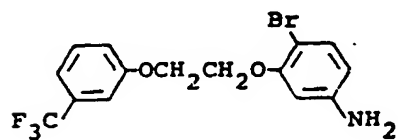
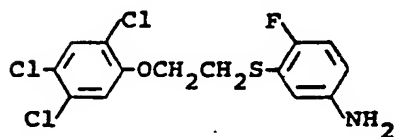
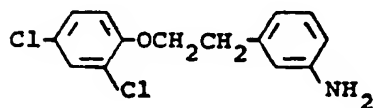
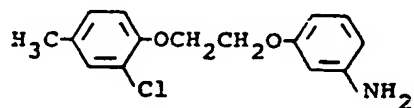
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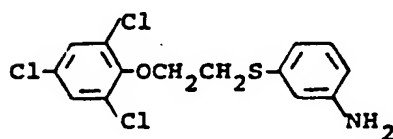
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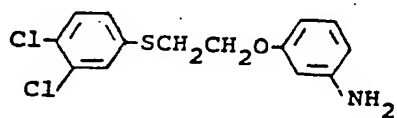
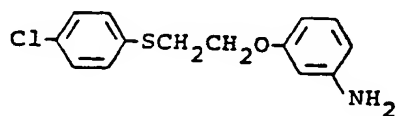
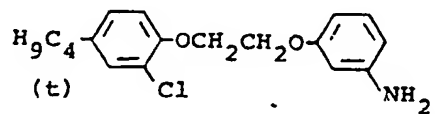
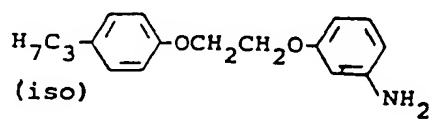
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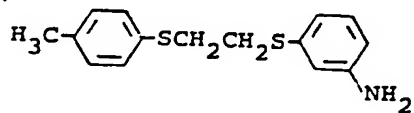
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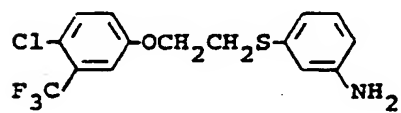
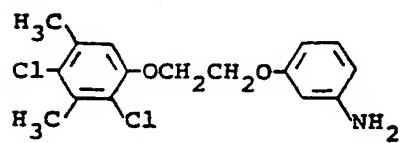
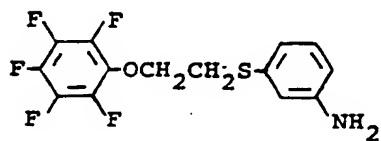
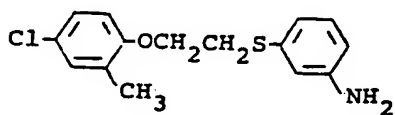
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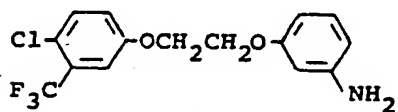
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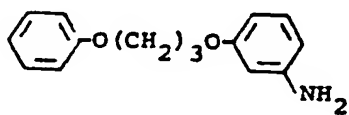
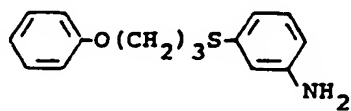
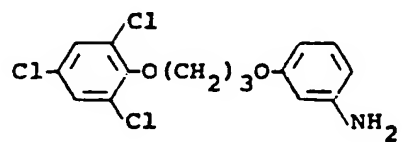
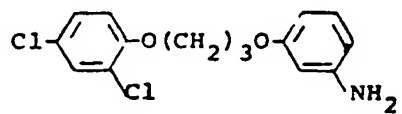
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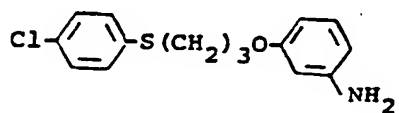
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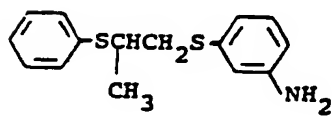
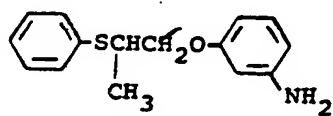
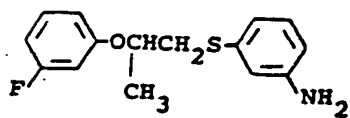
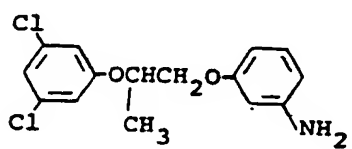
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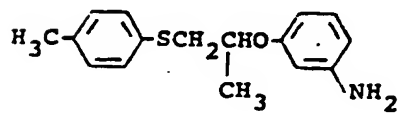
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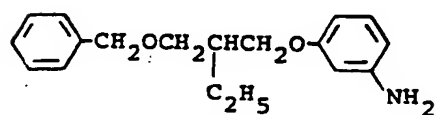
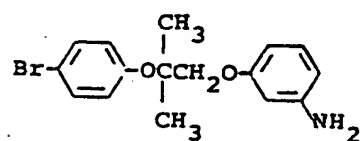
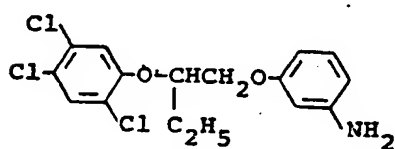
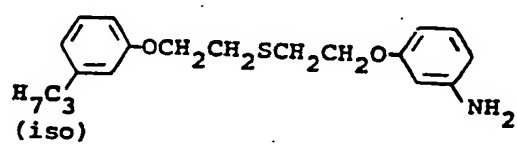
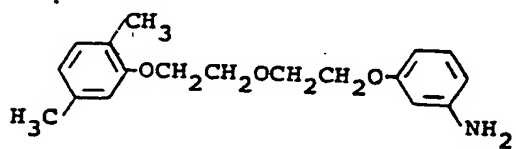
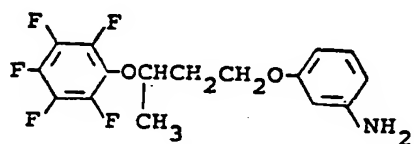
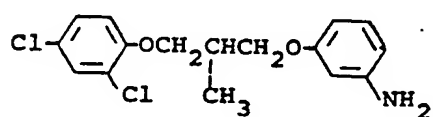
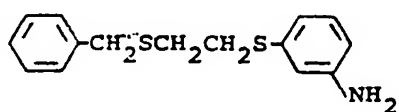
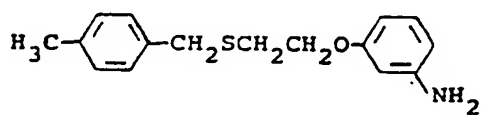
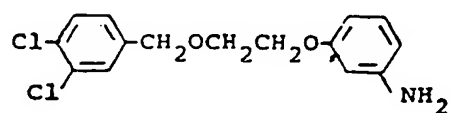


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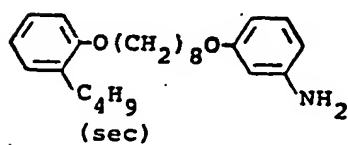
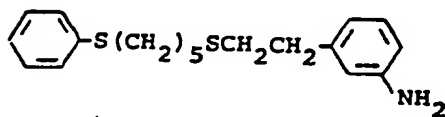
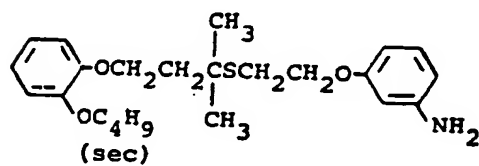
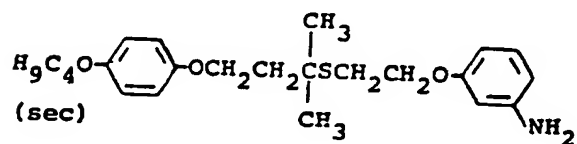
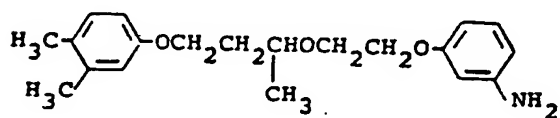
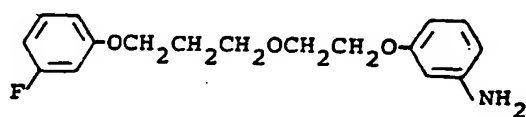
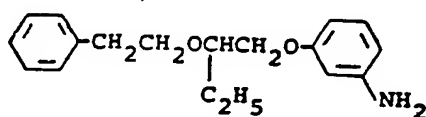
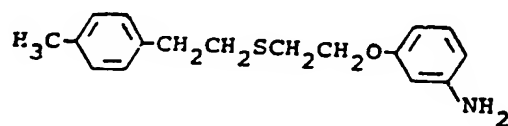
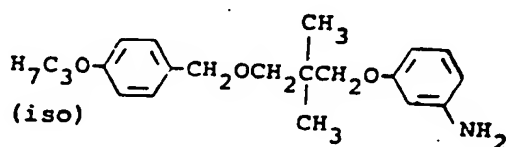
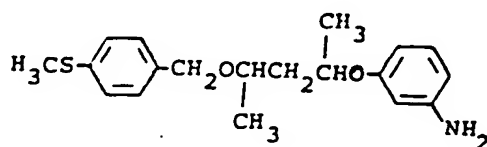


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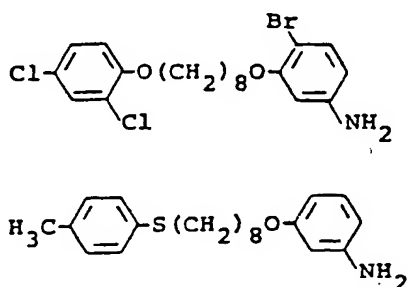


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Some typical examples of the production of the compounds (I) and the starting materials therefor are illustratively shown below.

5 EXAMPLE 1  
(Method (A))

Preparation of N-[3-(3,4-dichlorophenoxy)methyl]phenylpropionamide (Compound No. 36):—

One gram of 3-(3,4-dichlorophenoxy)methylaniline was dissolved in 20 ml of benzene, and 0.4 g of triethylamine was added thereto. Thereafter, 0.36 g of propionyl chloride was added dropwise thereto with stirring, followed by stirring for 2 hours. The triethylamine hydrochloride produced was removed by filtration, and the filtrate was washed with water, dried over anhydrous magnesium sulfate and concentrated in vacuo. The crystals produced were recrystallized from a mixture of ethanol and n-hexane to obtain 1.0 g of N-[3-(3,4-dichlorophenoxy)methyl]phenylpropionamide. M.P., 103 to 105°C.

15 Elementary analysis :

Calcd. for  $C_{18}H_{15}NO_2Cl_2$  : C, 59.3%; H, 4.7%; N, 4.3%.

Found : C, 59.1%; H, 4.5%; N, 4.4%.

EXAMPLE 2  
(Method (A))

20 Preparation of N-[3-(3-chlorophenoxy)methyl]phenylethylthiolcarbamate (Compound No. 51):—

Three grams of 3-(3-chlorophenoxy)methylaniline were dissolved in 50 ml of benzene, and 1.6 g of ethylthiolformate and 0.8 g of anhydrous potassium carbonate were added thereto. The reaction mixture was heated under reflux for 3 hours. Thereafter, the reaction mixture was filtered, and the filtrate was washed with water, dried over anhydrous magnesium sulfate and concentrated in vacuo.

25 The concentrated oily substance was column-chromatographed on silica gel with a mixture of hexane and acetone as an eluent for purification. The oily substance obtained after concentration in vacuo was recrystallized from chloroform to obtain 1.3 g of N-[3-(3-chlorophenoxy)methyl]phenylethylthiolcarbamate. M.P., 73 to 74°C.

Elementary analysis :

30 Calcd. for  $C_{18}H_{18}NO_2SCl$  : C, 59.7%; H, 5.0%; N, 4.4%.

Found : C, 60.0%; H, 5.0%; N, 4.1%.

EXAMPLE 3  
(Method (B))

35 Preparation of N-[3-(3,4-dichlorophenoxy)methyl]phenyl-1'-methylpropyn-2'-ylcarbamate (Compound No. 60):—

One gram of 3-(3,4-dichlorophenoxy)methylphenylisocyanate, 0.36 g of 1-butyn-3-ol and 30 ml of benzene were mixed, and a small amount of triethylamine was added thereto. The reaction mixture was refluxed for 1 hour. Thereafter, the reaction mixture was washed with water, dried over anhydrous magnesium sulfate and concentrated in vacuo. The oily substance obtained was column-chromatographed on silica gel with a mixture of n-hexane and acetone as an eluent. The eluate was concentrated to obtain 400 mg of N-[3-(3,4-dichlorophenoxy)methyl]phenyl-1'-methylpropyn-2'-ylcarbamate.  $n_D^{25}$  1.5828.

## Elementary analysis :

Calcd. for  $C_{18}H_{15}O_3NCl_2$  : C, 59.4%; H, 4.2%; N, 3.8%.

Found : C, 59.1%; H, 4.1%; N, 3.9%.

## EXAMPLE 4

5 (Method.(C))

Preparation of N-[3-(3-trifluoromethylphenoxy)methyl]phenyl]propionamide (Compound No. 23):—

Potassium 3-trifluoromethylphenoxide (0.84 g) and 1 g of 3-propionylaminobenzyl bromide were dissolved in 20 ml of dimethylformamide, and the resulting mixture was allowed to stand at 90°C for 3 hours. Then, the reaction mixture was filtered, and the filtrate was concentrated in vacuo. The oily substance obtained was crystallized from a mixture of ethanol and n-hexane to obtain 1.1 g of N-[3-(3-trifluoromethylphenoxy)methyl]phenyl]propionamide. M.P., 74 to 75°C.

## Elementary analysis :

Calcd. for  $C_{17}H_{16}NO_2F_3$  : C, 63.1%; H, 5.0%; N, 4.3%.

15 Found : C, 62.8%; H, 5.3%; N, 4.6%.

## EXAMPLE 5

(Method (A))

Preparation of methyl N-3-[3-(4-chlorophenyl)propyloxy]phenyl]carbamate (Compound No. 158):—

To a solution of 3-[3-(4-chlorophenyl)propyloxy]aniline (26.1 g) in benzene (100 ml), triethylamin (15 g) was added, and methyl chloroformate was dropwise added thereto at 10 to 15°C while stirring. After the dropwise addition was completed, stirring was continued for 2 hours, and water (50 ml) was added thereto to dissolve the by-produced triethylamine hydrochloride. The benzene layer was separated, washed with dilute hydrochloric acid and water and dried over anhydrous magnesium sulfate. After removal of the solvent by distillation, the residue was recrystallized from a mixture of ethanol and n-hexane (1:3 by weight) to obtain methyl N-3-[3-(4-chlorophenyl)propyloxy]phenyl]carbamate (23.9 g). M.P., 88 to 90°C.

## Elementary analysis :

Calcd. for  $C_{17}H_{16}ClNO_3$  : C, 63.84%; H, 5.68%; N, 4.38%; Cl, 11.08%.

30 Found : C, 64.93%; H, 5.70%; N, 4.38%; Cl, 10.86%.

## EXAMPLE 6

(Method (D))

Preparation of N-[3-(2-phenethyloxy)phenyl]acetamide (Compound No. 71):—

To a solution of  $\alpha'$ -(3-nitrophenoxy)acetophenone (25.7 g) in acetic acid (500 ml), acetic anhydride (20 g) and 10% palladium-carbon (1 g) were added, and catalytic hydrogenation was effected by introducing hydrogen therein at 50°C. After absorption of 20.5 liters of hydrogen in 8 hours, the catalyst was removed from the reaction mixture by filtration, and the filtrate was concentrated under reduced pressure. The residue was recrystallized from ethanol to give N-[3-(2-phenethyloxy)phenyl]acetamide (19.8 g) as white crystals. M.P., 61 to 63°C.

## Elementary analysis :

Calcd. for  $C_{16}H_{17}NO_2$  : C, 75.27%; H, 6.71%; N, 5.49%.

Found : C, 75.39%; H, 6.63%; N, 5.44%.

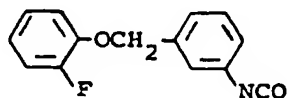
## EXAMPLE 7

Preparation of m-(3,4-dichlorophenoxy)methyl]phenylisocyanate:— (IV—1)

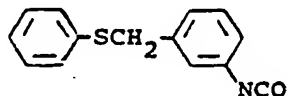
To a solution of phosgene (40 g) in toluene (200 ml), a solution of m-(3,4-dichlorophenoxy)methyl]aniline (15.5 g) in toluene (200 ml) was dropwise added at 10 to 20°C while stirring. The

resultant mixture was kept under reflux for 2 hours and then concentrated at room temperature under reduced pressure. The obtained oily product was distilled in vacuo to give m-(3,4-dichlorophenoxy-methyl)phenylisocyanate (14.3 g) as a fraction boiling at 145 to 147°C/0.25 mmHg.

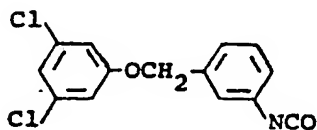
In the same manner as in Example 7, the following phenylisocyanate derivatives, which will be referred to hereinafter as compounds IV—2 to IV—12 respectively were produced.



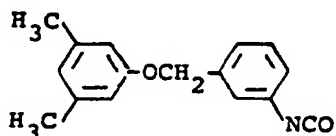
B.P., 122 - 127°C/  
0.2 mmHg



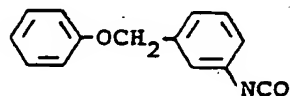
B.P., 120 - 135°C/  
0.2 mmHg



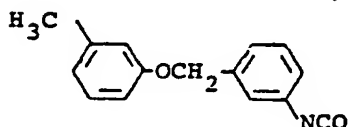
B.P., 148 - 151°C/  
0.18 mmHg



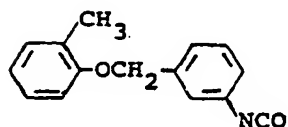
B.P., 140 - 153°C/  
0.15 mmHg



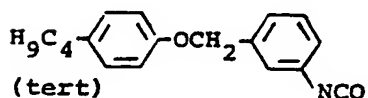
B.P., 116 - 123°C/  
0.15 mmHg



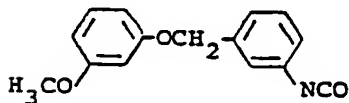
B.P., 106 - 120°C/  
0.1 mmHg



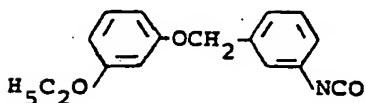
B.P., 120°C/0.18 mmHg



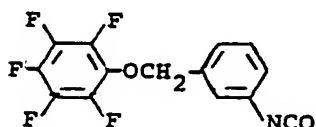
B.P., 159 - 169°C/  
1.0 mmHg



B.P., 154 - 157°C/  
0.1 - 0.2 mmHg



B.P., 142 - 148°C/  
0.1 - 0.2 mmHg



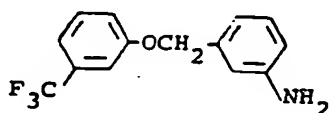
B.P., 110 - 120°C/  
0.1 mmHg

## EXAMPLE 8

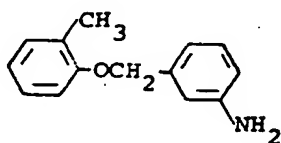
Preparation of m-(3-methylphenylthiomethyl)aniline:— (II—114)

To a solution of m-(3-methylphenylthiomethyl)nitrobenzene (13 g) in ethanol (300 ml), sodium sulfide ( $\text{Na}_2\text{S} \cdot 9\text{H}_2\text{O}$ ) (24 g) and water (30 ml) were added, and the resulting mixture was refluxed for 2 hours while stirring. The reaction mixture was poured into ice water and extracted with benzene. The benzene extract was washed with water and concentrated under reduced pressure to give an oily product, which was then distilled in vacuo to give m-(3-methylphenylthiomethyl)aniline (10.7 g) as a fraction boiling at 144 to 147°C/0.25 mmHg.

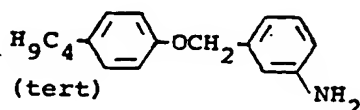
In the same manner as in Example 8, the following aniline derivatives, which will be referred to hereinafter as compounds II—115 to II—118 respectively, were produced.



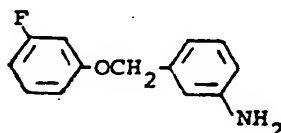
B.P., 134°C/0.1 mmHg



B.P., 130°C/0.15 mmHg



M.P., 163 - 170°C



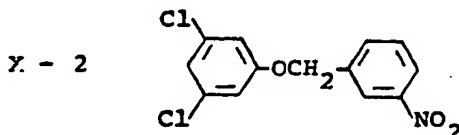
B.P., 130 - 134°C/  
0.3 mmHg

## 15 EXAMPLE 9

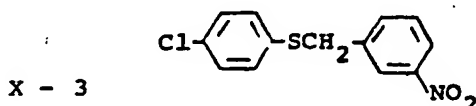
Preparation of m-(3-methylphenylthiomethyl)nitrobenzene:— (X—1)

To a solution of potassium salt of m-methylthiophenol (22.5 g) in dimethylformamide (200 ml), a solution of m-nitrobenzyl chloride (23 g) in dimethylformamide (100 ml) was dropwise added at 30 to 40°C, and the resultant mixture was stirred at 90 to 100°C for 3 hours. The reaction mixture was poured into ice water and extracted with benzene. The benzene extract was washed with dilute sodium hydroxide solution, dilute hydrochloric acid and water in order and concentrated under reduced pressure. The resulting oily product was purified by column chromatography on silica gel (80 to 120 mesh) with a mixture of benzene and n-hexane (5:1 by weight) to give m-(3-methylphenylthiomethyl)nitrobenzene (10.3 g).  $n_D^{25.0}$ : 1.6203.

In the same manner as in Example 9, the following nitrobenzene derivatives were produced:



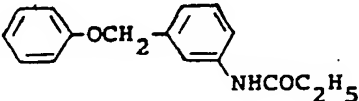
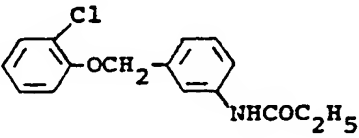
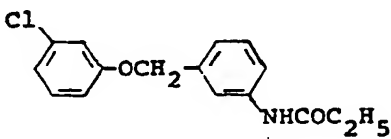
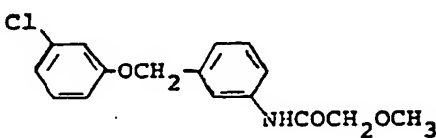
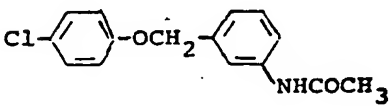
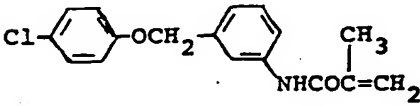
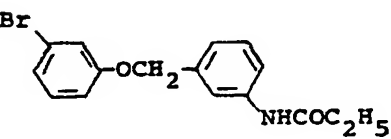
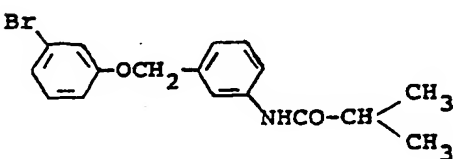
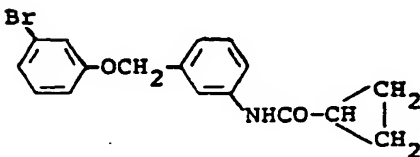
M.P., 125 - 127°C

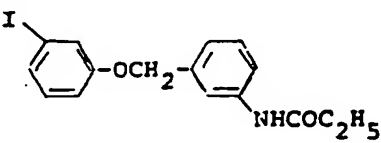
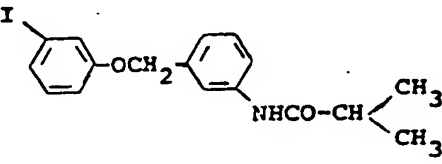
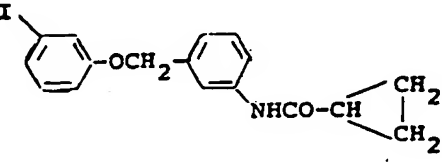
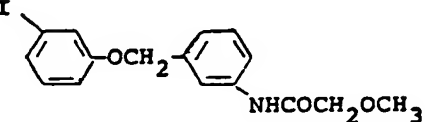
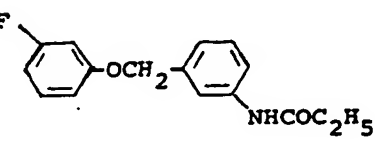
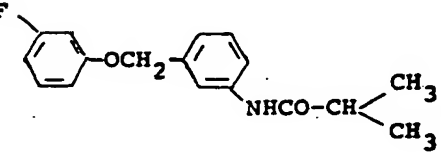
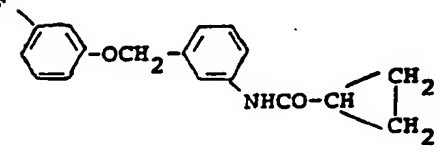
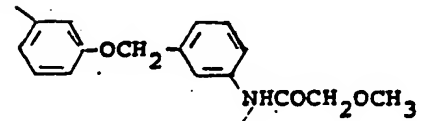


M.P., 68 - 69°C

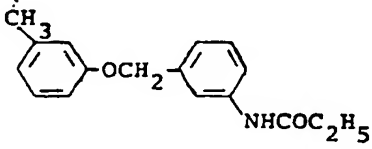
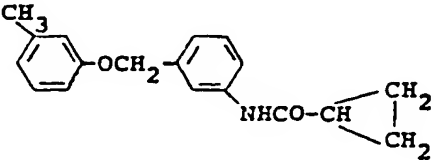
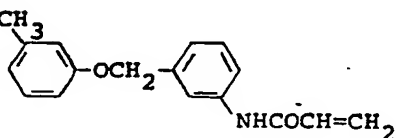
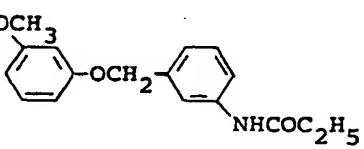
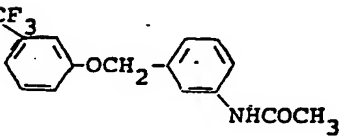
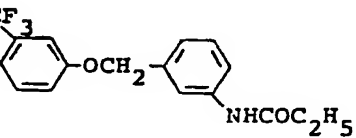
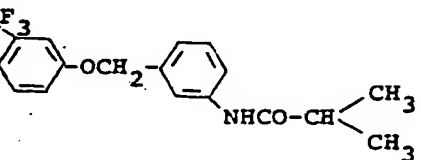
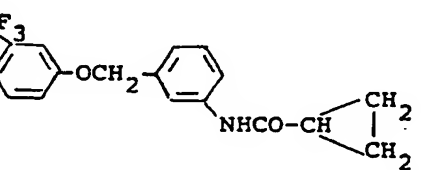
Specific examples of the compounds (II) are shown in Table 1.

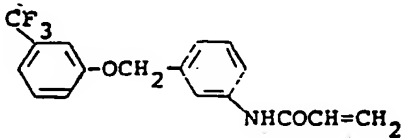
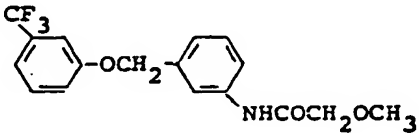
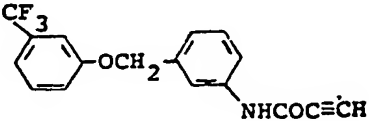
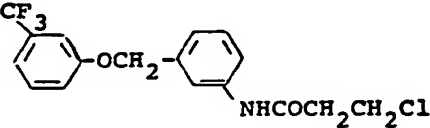
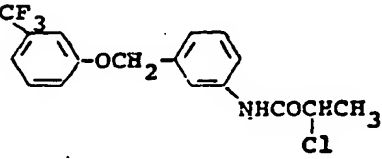
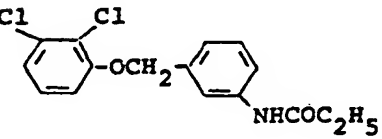
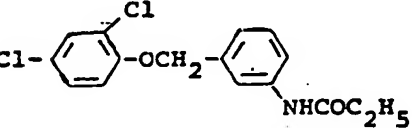
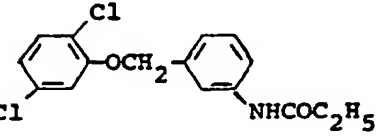
Table 1

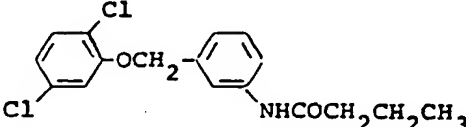
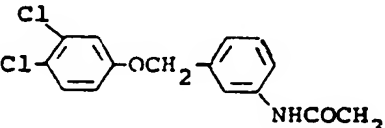
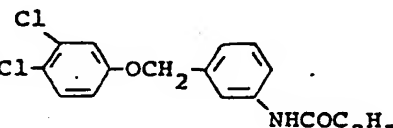
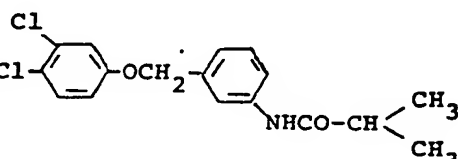
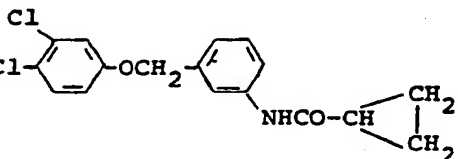
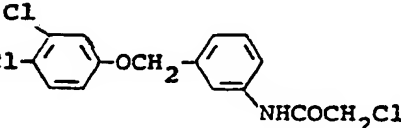
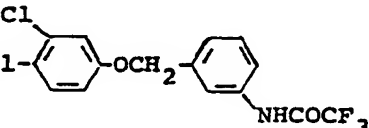
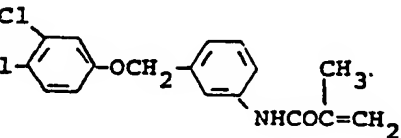
Compound No.	Chemical structure	Physical constant
1		M.P., 124 - 125°C
2		M.P., 122 - 124°C
3		M.P., 91 - 92°C
4		M.P., 65 - 67°C
5		M.P., 157 - 158°C
6		M.P., 119 - 120°C
7		M.P., 101 - 103°C
8		M.P., 119 - 121°C
9		M.P., 122 - 123°C

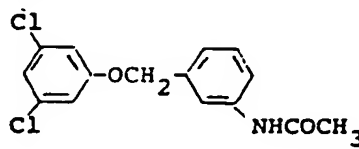
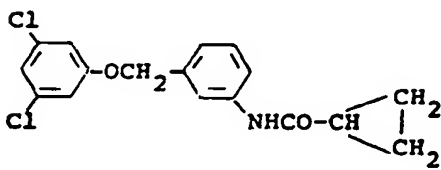
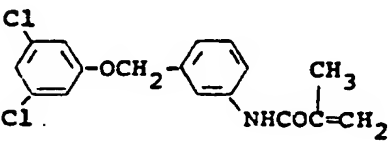
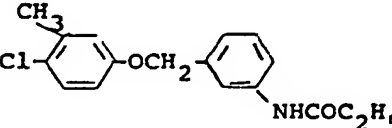
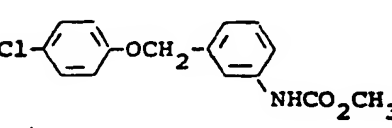
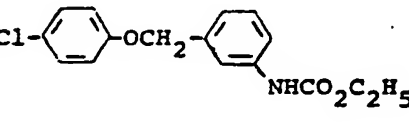
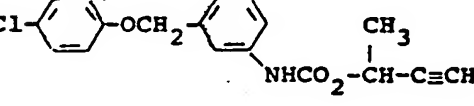
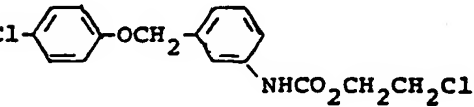
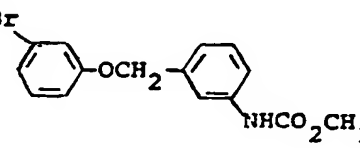
Compound No.	Chemical structure	Physical constant
10		M.P., 116 - 118°C
11		M.P., 102 - 104°C
12		M.P., 105 - 107°C
13		M.P., 76 - 77°C
14		M.P., 99 - 100°C
15		M.P., 104 - 106°C
16		M.P., 74 - 76°C
17		M.P., 60 - 61°C

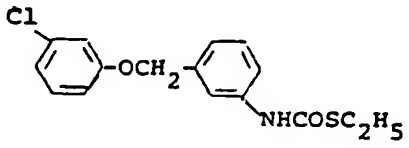
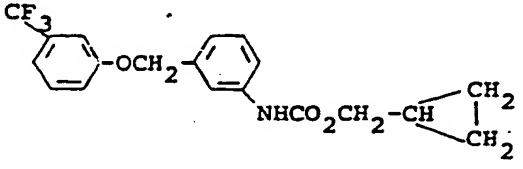
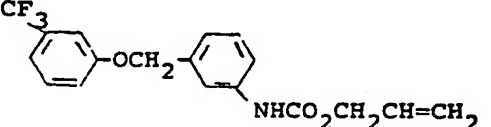
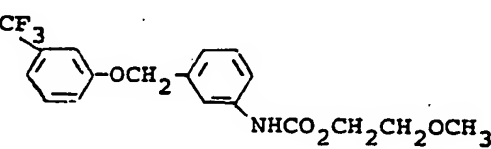
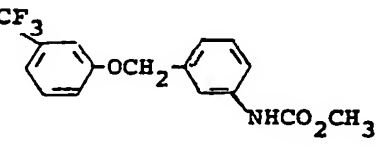
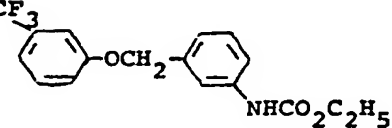
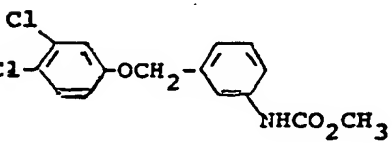
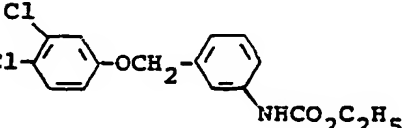


Compound No.	Chemical structure	Physical constant
18	 <chem>CC1=CC=C(C=C1)OCC2=CC=C(C=C2)NC(=O)CC</chem>	M.P., 80 - 81°C
19	 <chem>CC1=CC=C(C=C1)OCC2=CC=C(C=C2)NC(=O)C(C)C</chem>	M.P., 88 - 89°C
20	 <chem>CC1=CC=C(C=C1)OCC2=CC=C(C=C2)NC(=O)C=C</chem>	M.P., 84 - 85°C
21	 <chem>COc1ccc(OCC2=CC=C(C=C2)NC(=O)CC)cc1</chem>	M.P., 65 - 66°C
22	 <chem>FC(F)(F)c1ccc(OCC2=CC=C(C=C2)NC(=O)C)cc1</chem>	M.P., 84 - 85°C
23	 <chem>FC(F)(F)c1ccc(OCC2=CC=C(C=C2)NC(=O)CC)cc1</chem>	M.P., 74 - 75°C
24	 <chem>FC(F)(F)c1ccc(OCC2=CC=C(C=C2)NC(=O)C(C)C)cc1</chem>	M.P., 69 - 71°C
25	 <chem>FC(F)(F)c1ccc(OCC2=CC=C(C=C2)NC(=O)C(C)C)cc1</chem>	M.P., 100 - 102°C

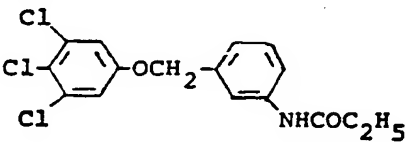
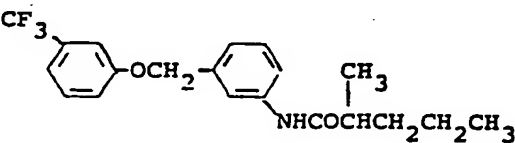
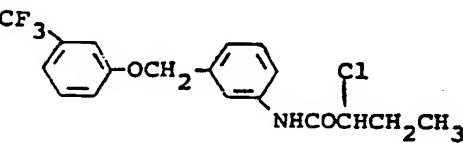
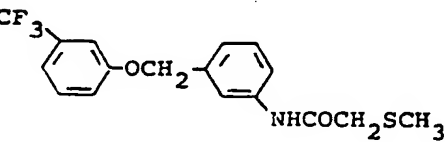
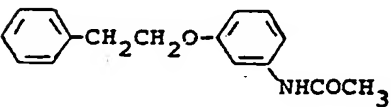
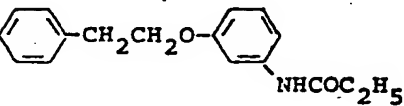
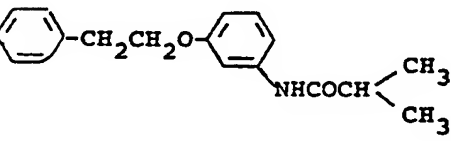
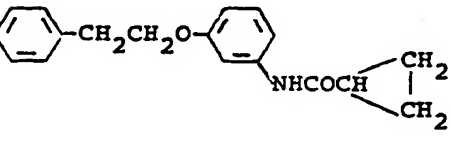
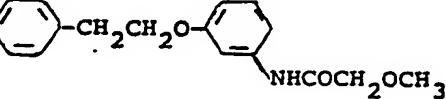
Compound No.	Chemical structure	Physical constant
26	 <chem>CC(=O)Nc1ccc(Oc2ccc(C(F)(F)F)cc2)cc1</chem>	M.P., 86 - 88°C
27	 <chem>COC(=O)Nc1ccc(Oc2ccc(C(F)(F)F)cc2)cc1</chem>	M.P., 60 - 61°C
28	 <chem>C#CC(=O)Nc1ccc(Oc2ccc(C(F)(F)F)cc2)cc1</chem>	M.P., 96 - 98°C
29	 <chem>ClCC(=O)Nc1ccc(Oc2ccc(C(F)(F)F)cc2)cc1</chem>	M.P., 78 - 79°C
30	 <chem>CC(C)C(=O)Nc1ccc(Oc2ccc(C(F)(F)F)cc2)cc1Cl</chem>	M.P., 59 - 60°C
31	 <chem>CC(=O)Nc1ccc(Oc2cc(Cl)cc(Cl)c2)cc1</chem>	M.P., 126 - 128°C
32	 <chem>CC(=O)Nc1ccc(Oc2cc(Cl)cc(Cl)c2)cc1</chem>	M.P., 95 - 96°C
33	 <chem>CC(=O)Nc1ccc(Oc2cc(Cl)ccc2Cl)cc1</chem>	M.P., 115 - 116°C

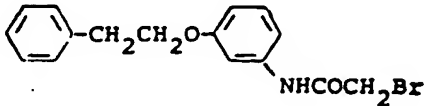
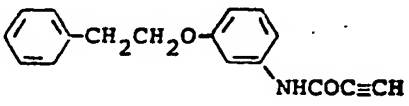
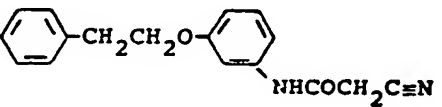
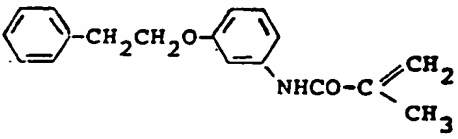
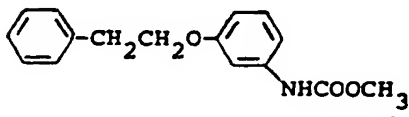
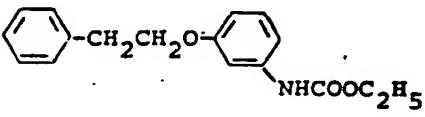
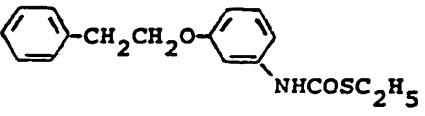
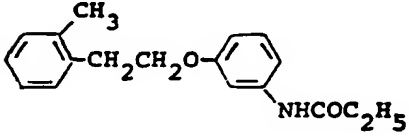
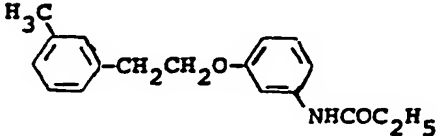
Compound No.	Chemical structure	Physical constant
34		M.P., 120 - 122°C
35		M.P., 113 - 114°C
36		M.P., 103 - 105°C
37		M.P., 116 - 117°C
38		M.P., 109 - 110°C
39		M.P., 103 - 104°C
40		M.P., 67 - 69°C
41		M.P., 109 - 110°C

Compound No.	Chemical structure	Physical constant
42		M.P., 95 - 96°C
43		M.P., 123 - 124°C
44		M.P., 99 - 101°C
45		M.P., 92 - 93°C
46		M.P. 102 - 103°C
47		M.P., 99 - 100°C
48		$n_D^{21}$ 1.5867
49		M.P., 90 - 91°C
50		M.P., 75 - 76°C

Compound No.	Chemical structure	Physical constant
51	 <chem>Clc1ccc(Oc2ccc(NC(=O)SC)cc2)cc1</chem>	M.P., 73 - 74°C.
52	 <chem>FC(F)(F)c1ccc(Oc2ccc(NC(=O)OCC(C)CC)cc2)cc1</chem>	M.P., 57 - 58°C
53	 <chem>FC(F)(F)c1ccc(Oc2ccc(NC(=O)OCC=C)cc2)cc1</chem>	M.P., 47 - 49°C
54	 <chem>FC(F)(F)c1ccc(Oc2ccc(NC(=O)OCCOC)cc2)cc1</chem>	M.P., 59 - 61°C
55	 <chem>FC(F)(F)c1ccc(Oc2ccc(NC(=O)OC)cc2)cc1</chem>	M.P., 82 - 83°C
56	 <chem>FC(F)(F)c1ccc(Oc2ccc(NC(=O)OCC)cc2)cc1</chem>	M.P., 52 - 53°C
57	 <chem>Clc1cc(Cl)ccc1Oc2ccc(NC(=O)OC)cc2</chem>	M.P., 85 - 87°C
58	 <chem>Clc1cc(Cl)ccc1Oc2ccc(NC(=O)OCC)cc2</chem>	M.P., 48 - 51°C

Compound No.	Chemical structure	Physical constant
59		$n_D^{25}$ 1.5768
60		$n_D^{24}$ 1.5828
61		$n_D^{25}$ 1.5919
62		$n_D^{25}$ 1.3926
63		$n_D^{24}$ 1.5009
64		$n_D^{25.5}$ 1.5948
65		M.P., 88 - 89°C
66		M.P., 138 - 140°C

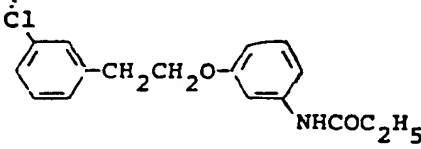
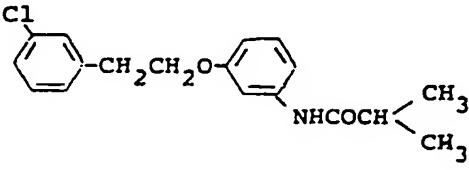
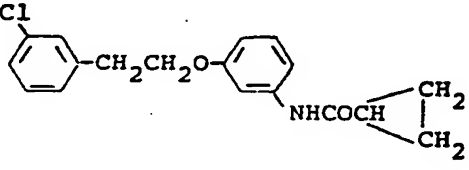
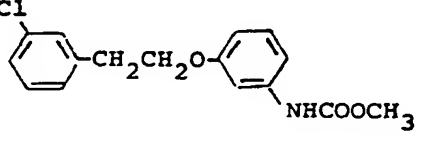
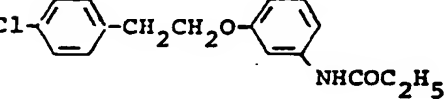
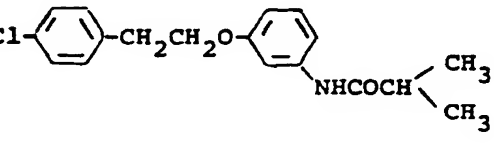
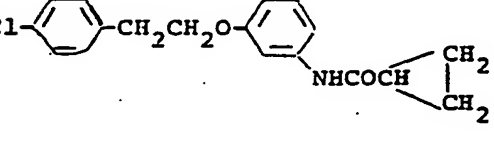
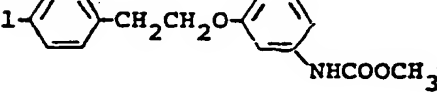
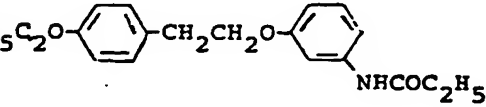
Compound No.	Chemical structure	Physical constant
67		M.P., 141 - 143°C
68		M.P., 81 - 82°C
69		M.P., 59 - 60°C
70		M.P., 75 - 76°C
71		M.P., 61 - 63°C
72		M.P., 83 - 84°C
73		M.P., 109 - 110°C
74		M.P., 105 - 107°C
75		$n_D^{26.5}$ 1.5637

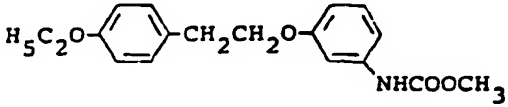
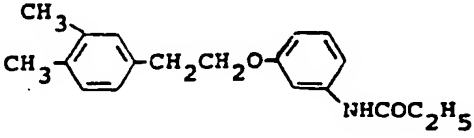
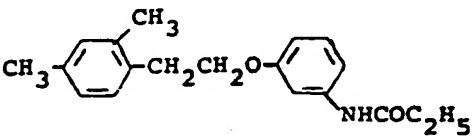
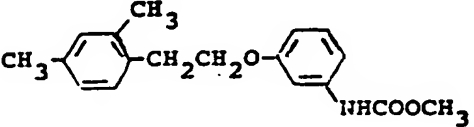
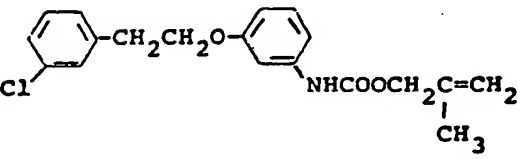
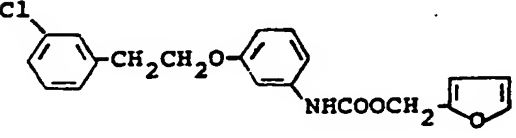
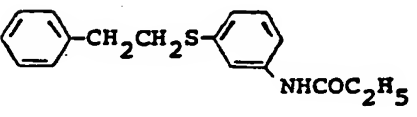
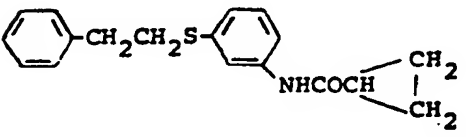
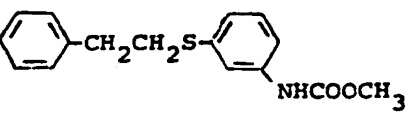
Compound No.	Chemical structure	Physical constant
76		M.P., 94 - 96°C
77		M.P., 112 - 114°C
78		M.P., 131 - 133°C
79		M.P., 79 - 80°C
80		M.P., 79 - 80°C
81		$n_D^{25}$ 1.5598
82		M.P., 70 - 71°C
83		M.P., 143 - 145°C
84		M.P., 66 - 67°C



Compound No.	Chemical structure	Physical constant
85		M.P., 59 - 60°C
86		M.P., 127 - 130°C
87		M.P., 149.5 - 153°C
88		M.P., 134.5 - 137.5°C
89		M.P., 101. - 102°C
90		$n_D^{28}$ 1.5520
91		$n_D^{25}$ 1.5535
92		M.P., 97 - 100°C
93		M.P., 98 - 99°C

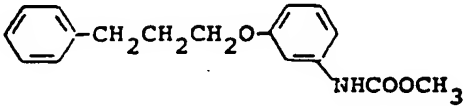
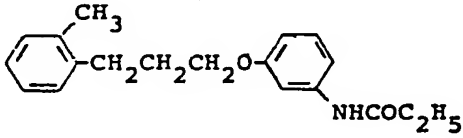
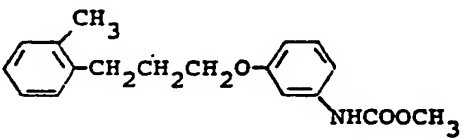
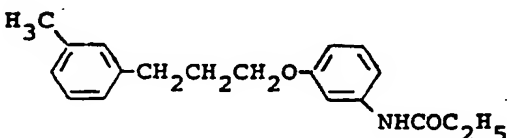
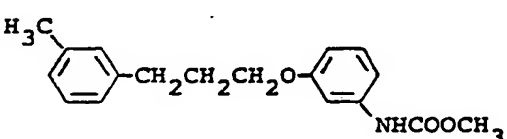
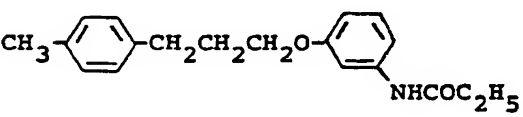
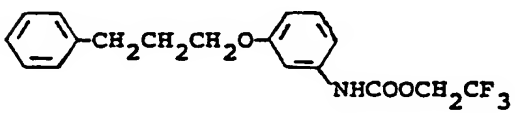
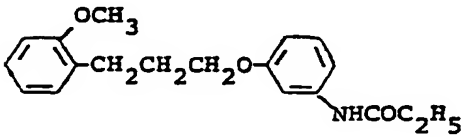
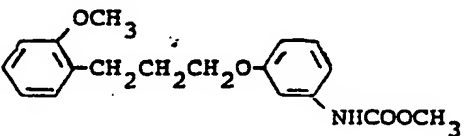
Compound No.	Chemical structure	Physical constant
94		M.P., 122 - 123.5°C
95		$n_D^{30}$ 1.5542
96		M.P., 79 - 80°C
97		M.P., 88 - 90°C
98		M.P., 84 - 87°C
99		M.P., 56.5 - 58.5°C
100		M.P., 104 - 106.5°C
101		M.P., 60.5 - 62°C

Compound No.	Chemical structure	Physical constant
102		M.P., 103 - 105°C
103		M.P., 105.5 - 108°C
104		M.P., 112 - 115.5°C
105		M.P., 99 - 99.5°C
106		M.P., 117 - 118°C
107		M.P., 144 - 146.5°C
108		M.P., 148.5 - 151°C
109		M.P., 106 - 107°C
110		M.P., 85 - 86°C

Compound No.	Chemical structure	Physical constant
111		M.P., 83 - 84°C
112		M.P., 104 - 105°C
113		M.P., 111 - 114°C
114		$n_D^{26.5}$ 1.5648
115		$n_D^{27}$ 1.5732
116		M.P., 79 - 81°C
117		M.P., 55 - 56°C
118		M.P., 100 - 101°C
119		$n_D^{24.5}$ 1.6044

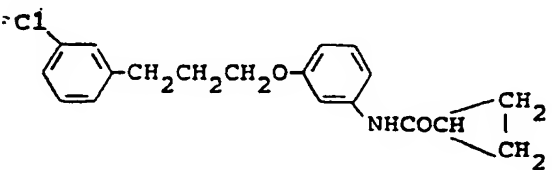
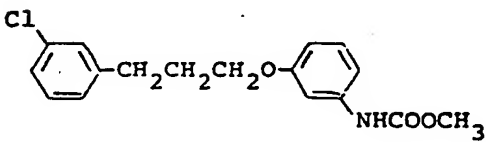
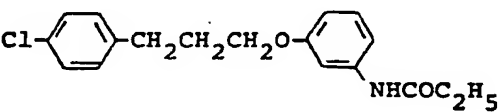
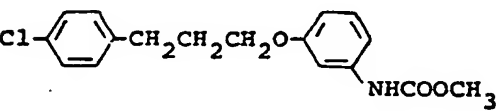
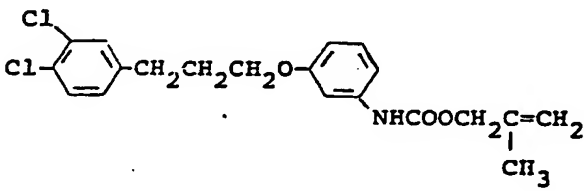
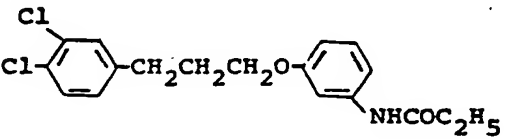
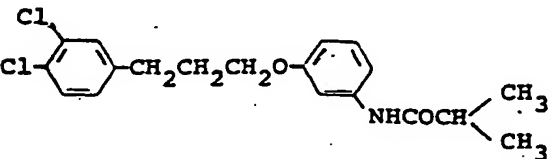
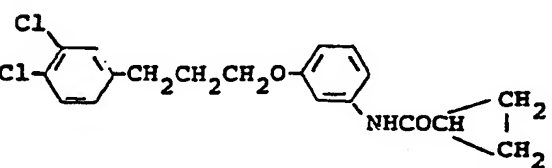
Compound No.	Chemical structure	Physical constant
120		$n_D^{24}$ 1.6090
121		M.P., 73 - 75°C
122		$n_D^{24.5}$ 1.6091
123		M.P., 147 - 148°C
124		M.P., 63 - 65°C
125		M.P., 96 - 98°C
126		$n_D^{24}$ 1.6046
127		$n_D^{21.5}$ 1.5895

Compound No.	Chemical structure	Physical constant
128		$n_D^{21}$ 1.5972
129		M.P., 75 - 77°C
130		M.P., 66 - 67°C
131		M.P., 91 - 92°C
132		M.P., 83 - 85°C
133		$n_D^{22.5}$ 1.5955
134		M.P., 86 - 87°C
135		M.P., 128 - 131°C
136		M.P., 111 - 113°C

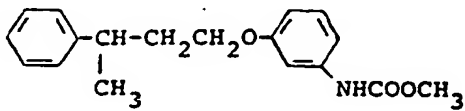
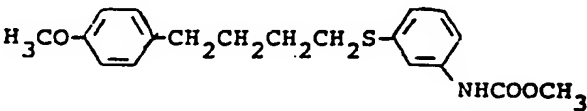
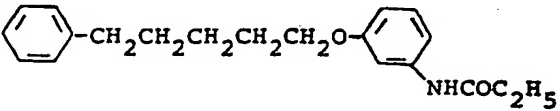
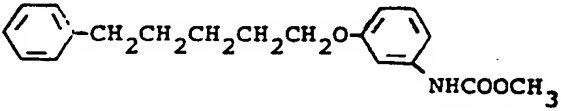
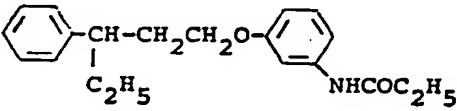
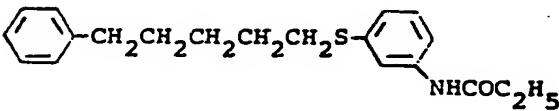
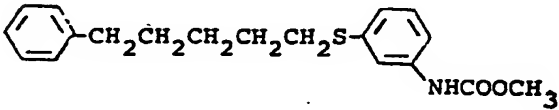
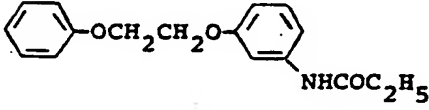
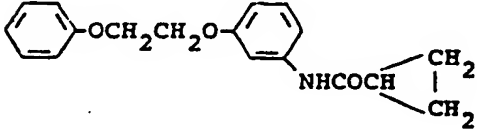
Compound No.	Chemical structure	Physical constant
137		M.P., 60 - 62°C
138		M.P., 78 - 79.5°C
139		$n_D^{29.5}$ 1.5631
140		M.P., 66.5 - 68.5°C
141		$n_D^{27.5}$ 1.5661
142		M.P., 98.5 - 100°C
143		M.P., 56.5 - 58°C
144		M.P., 74 - 75°C
145		$n_D^{27}$ 1.5662

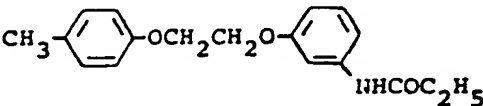
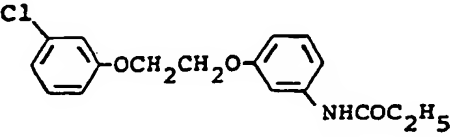
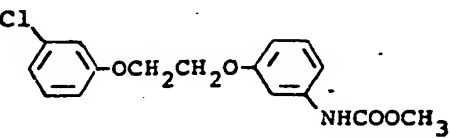
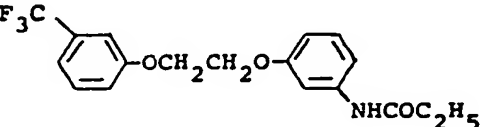
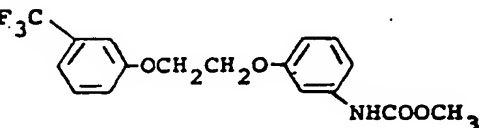
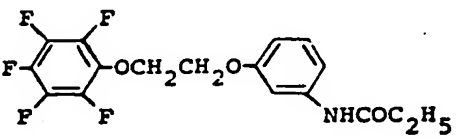
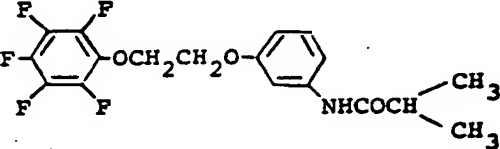
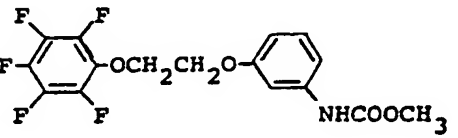
Compound No.	Chemical structure	Physical constant
146		M.P., 52.5 - 54°C
147		$n_D^{29.5}$ 1.5628
148		M.P., 94 - 96°C
149		M.P., 91 - 92°C
150		M.P., 108.5 - 110.5°C
151		M.P., 95.5 - 97°C
152		M.P., 56 - 57°C
153		M.P., 65 - 67°C
154		M.P., 97 - 98.5°C



Compound No.	Chemical structure	Physical constant
155		M.P., 91 - 93.5°C
156		M.P., 63 - 65°C
157		M.P., 103 - 104°C
158		M.P., 88 - 90°C
159		$n_D^{27}$ 1.5772
160		M.P., 83 - 85°C
161		M.P., 94 - 95°C
162		M.P., 123 - 124°C

Compound No.	Chemical structure	Physical constant
163		M.P., 80 - 81°C
164		$n_D^{29.5}$ 1.5529
165		$n_D^{26}$ 1.5661
166		$n_D^{25.5}$ 1.5912
167		M.P., 102.5 - 104°C
168		M.P., 83 - 85°C
169		M.P., 77 - 80°C
170		M.P., 104 - 107°C
171		$n_D^{27.5}$ 1.5712

Compound No.	Chemical structure	Physical constant
172		$n_D^{24}$ 1.5653
173		M.P., 42 - 45°C
174		M.P., 115 - 116°C
175		M.P., 70 - 72°C
176		$n_D^{29}$ 1.5550
177		$n_D^{29.5}$ 1.5863
178		$n_D^{29}$ 1.5839
179		M.P., 140 - 140.5°C
180		M.P., 104 - 106°C

<u>Compound No.</u>	<u>Chemical structure</u>	<u>Physical constant</u>
181		M.P., 141 - 144.5°C
182		M.P., 123.5 - 127°C
183		M.P., 101 - 102°C
184		M.P., 114 - 115.5°C
185		M.P., 101 - 103°C
186		M.P., 103 - 104°C
187		M.P., 124 - 126°C
188		M.P., 85 - 86°C

Compound No.	Chemical structure	Physical constant
189		M.P., 120 - 121°C
190		M.P., 121 - 122°C
191		M.P., 90 - 92°C
192		M.P., 109 - 110.5°C
193		M.P., 104 - 105°C
194		M.P., 80 - 85°C
195		$n_D^{29}$ 1.5643
196		M.P., 128 - 132°C
197		M.P., 85 - 86°C

Compound No.	Chemical structure	Physical constant
198		M.P., 110.5 - 112°C
199		M.P., 111.5 - 114°C
200		M.P., 91 - 93°C
201		M.P., 112 - 113°C
202		M.P., 78 - 80°C
203		M.P., 78.5 - 79.5°C
204		M.P., 81 - 84°C
205		$n_D^{23}$ 1.6158
206		M.P., 91.5 - 92°C
207		M.P., 106 - 107.5°C

In the practical application of the compounds (I), they may be applied neat or in the form of any appropriate preparation such as wettable powders, emulsifiable concentrates, granules, fine granules or dusts.

For producing such preparations a solid or liquid carrier may be used. Examples of suitable solid carriers are mineral powders (e.g. kaolin, bentonite, clay, montmorillonite, talc, diatomaceous earth, mica, vermiculite, gypsum, calcium carbonate, apatite), vegetable powders (e.g. soybean powder, flour, wooden powder, tobacco powder, starch, crystalline cellulose), high molecular weight compounds (e.g. petroleum resin, polyvinyl chloride, dammar gum, ketone resin), alumina and waxes. Examples of suitable liquid carriers are alcohols (e.g. methanol, ethanol, ethylene glycol, benzyl alcohol), aromatic hydrocarbons (e.g. toluene, benzene, xylene, methylnaphthalene), halogenated hydrocarbons (e.g. chloroform, carbon tetrachloride, monochlorobenzene), ethers (e.g. dioxane, tetrahydrofuran), ketones (e.g. acetone, methyl ethyl ketone, cyclohexanone), esters (e.g. ethyl acetate, butyl acetate, ethylene glycol acetate), acid amides (e.g. dimethylformamide), nitriles (e.g. acetonitrile), ether alcohols (e.g. ethylene monoglycol ethyl ether) and water.

Preparations containing the compounds of formula 1, may also incorporate a surface active agent for emulsification, dispersion and/or spreading, and thus may be of the nonionic, anionic, cationic and amphoteric type of agent. Examples of surface active agent includes polyoxyethylene alkyl ethers, polyoxyethylene alkylaryl ethers, polyoxyethylene fatty acid esters, sorbitan fatty acid esters, polyoxyethylene sorbitan fatty acid esters, oxymethylene polymers, oxypropylene polymers, polyoxyethylene alkyl phosphates, fatty acid salts, alkyl sulfates, alkyl sulfonates, alkylaryl sulfonates, alkyl phosphates, polyoxyethylene alkyl sulfates, and quaternary ammonium salts. If necessary, gelatin, casein, sodium alginate, starch, agar, polyvinyl alcohol or the like may be used as an auxiliary agent.

The compounds (I) of the present invention may be used together with other herbicides to improve the activity as herbicides, and in some cases, a synergistic effect can be expected. As the other herbicides, there may be employed phenoxy series herbicides such as 2,4-dichlorophenoxyacetic acid, 2-methyl-4-chlorophenoxyacetic acid and 2,4-dichlorophenoxybutyric acid (including esters and salts thereof); diphenyl ether series herbicides such as 2,4-dichlorophenyl-4'-nitrophenyl ether, 2,4,6-trichlorophenyl-4'-nitrophenyl ether, 2,4-dichlorophenyl-4'-nitro-3'-methoxyphenyl ether and 2,4-dichlorophenyl-3'-methoxycarbonyl-4'-nitrophenyl ether; triazine series herbicides such as 2-chloro-4,6-bisethylamino-1,3,5-triazine, 2-chloro-4-ethylamino-6-isopropylamino-1,3,5-triazine, 2-methylthio-4,6-bisethylamino-1,3,5-triazine and 2-methylthio-4,6-bis-isopropylamino-1,3,5-triazine; triazine series herbicides such as 4-amino-3-methyl-6-phenyl-1,2,4-triazine-5(4H)-one and 4-amino-6-tert-butyl-3-(methylthio)-1,2,4-triazine-5(4H)-one; urea series herbicides such as 3-(3,4-dichlorophenyl)-1,1-dimethylurea, 3-(3,4-dichlorophenyl)-1-methoxy-1-methylurea, 3-(3-chloro-4-difluorochloromethylthiophenyl)-1,1-dimethylurea, 3-[4-(4-chlorophenoxy)phenyl]-1,1-dimethylurea and 3-(3'-trifluoromethyl)phenyl-1,1-dimethylurea; carbamate series herbicides such as isopropyl N-(3-chlorophenyl)-carbamate, methyl N-(3,4-dichlorophenyl)carbamate and 4-chlorobutynyl-3'-chlorocarbamate; thiolcarbamate series herbicides such as S-(4-chlorobenzyl)-N,N-diethylthiolcarbamate, S-ethyl N,N-hexamethylenethiolcarbamate and S-ethyl dipropylthiolcarbamate; acid anilide series herbicides such as 3,4-dichloropropionanilide, N-methoxymethyl-2,6-diethyl-2-chloroacetanilide and 2-chloro-2',6'-diethyl-N-(butoxymethyl)acetanilide; uracil series herbicides such as 5-bromo-3-sec-butyl-6-methyluracil and 3-cyclohexyl-5,6-trimethyleneuracil; pyridinium salt series herbicides such as 1,1'-dimethyl-4,4'-bis-pyridinium dichloride; phosphorus series herbicides such as N-(phosphonomethyl)glycine, O-ethyl O-(2-nitro-5-methylphenyl)-N-sec-butylphosphoroamidothioate and O-methyl O-(2-nitro-4-methylphenyl)-N-isopropylphosphoroamidothioate; toluidine series herbicides such as 4-trifluoromethyl-2,6-dinitro-N,N-dipropylaniline and 4-trifluoromethyl-2,6-dinitro-N-cyclopropylmethyl-N-propylaniline; N-sec-butyl-4-tert-butyl-2,6-dinitroaniline, 3,5-dinitro-4-N,N-dipropylamino-sulfanylamide; 5-tert-butyl-3-(2,4-dichloro-5-isopropoxyphenyl)-1,3,4-oxadiazolin-2-one; 3-isopropyl-1H-2,1,3-benzothiadiazine-(4)-3H-one-2,2-dioxide (including salts thereof);  $\alpha$ -( $\beta$ -naphthoxy)propionanilide; 2-( $\alpha$ -naphthoxy)-N,N-diethylpropionamide; 3-amino-2,5-dichlorobenzoic acid; 2-sec-butyl-4,6-dinitrophenol; N-1-naphthylphthalamic acid; 5-amino-4-chloro-2-phenyl-3(2H)-pyridazinone; 2-[1-(N-aryloxyamino)butylidene]-5,5-dimethyl-4-methoxycarbonylcyclohexane-1,3-dione sodium salt, methyl ( $\pm$ )-2-[4-(2,4-dichlorophenoxy)phenoxy]propionate, methyl ( $\pm$ )-2-[4-(3,5-dichloro-2-pyridyloxy)phenoxy]propionate, 2-[4-(3,5-dichloro-2-pyridyloxy)phenoxy]propionic acid sodium salt, and the like.

The compounds (I) may be also applied together with common fungicides, microbial insecticides, pyrethroid series insecticides, other insecticides, plant growth regulators or fertilizers.

Examples of the fungicides which may be used in combination with the compounds (I) are as follows: N-(3,5-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboximide, S-n-butyl S-p-t-butyl-benzyl-N-3-pyridyldithiocarbonimidate, O,O-dimethyl-O-2,6-dichloro-4-methylphenyl phosphorothioate, methyl-N-benzimidazol-2-yl-N-(butylcarbamoyl)carbamate, N-trichloromethylthio-4-cyclohexene-1,2-dicarboximide, cis-N-(1,1,2,2-tetrachloroethylthio)-4-cyclohexene-1,2-dicarboximide, p lyoxin, streptomycin, zinc ethylenebisdithiocarbamate, zinc dimethylthiocarbamate, manganese ethylenebisdithiocarbamate, bis(dimethylthiocarbamoyl) disulfide, tetrachloroisophthalonitrile, 8-hydroxyquinolin, dodecylguanidin acetate, 5,6-dihydro-2-methyl-1,4-oxathiin-3-carboxanilide, N'-

dichlorofluoromethylthio-N,N-dimethyl-N'-phenylsulfamide, 1-(4-chlorophenoxy)-3,3-dimethyl-1-(1,2,4-triazol-1-yl)-2-butanole, 1,2-bis(3-methoxycarbonyl-2-thioureido)benzene, etc.

The concentration of the compounds (I) as the active ingredient in the herbicidal and/or fungicidal composition is usually from about 1 to 80% by weight, although higher or lower concentration may be employed.

Some examples of the herbicidal and/or fungicidal compositions comprising the compounds (I) are shown below. In these examples, part(s) are by weight unless otherwise indicated.

#### PREPARATION EXAMPLE 1

Eight parts of the compound (I) (Compound No. 28 or 72), 5 parts of polyoxyethylene alkylaryl ether and 15 parts of synthetic hydrated silicon dioxide are well mixed while being powdered to obtain a wettable powder.

#### PREPARATION EXAMPLE 2

Thirty parts of the compound (I) (Compound No. 36 or 158), 7 parts of polyoxyethylene alkylaryl ether, 3 parts of alkylaryl sulfonate and 60 parts of xylene are well mixed to obtain an emulsifiable concentrate.

#### PREPARATION EXAMPLE 3

One part of the compound (I) (Compound No. 23 or 160), 1 part of white carbon, 5 parts of lignosulfonate and 93 parts of clay are well mixed while being powdered. The mixture is then well kneaded with water, granulated and dried to obtain granules.

#### PREPARATION EXAMPLE 4

Fourty parts of bentonite, 5 parts of lignosulfonate and 55 parts of clay are well mixed while being powdered. The mixture is then well kneaded with water, granulated and dried to obtain granules containing no active ingredient. The granules are then impregnated with 5 parts of the compound (I) (Compound No. 51 or 163) to obtain granules.

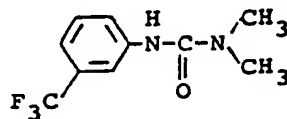
#### PREPARATION EXAMPLE 5

Three parts of the compound (I) (Compound No. 60 or 174), 0.5 part of isopropyl phosphate, 66.5 parts of clay and 30 parts of talc are well mixed while being powdered to obtain a dust.

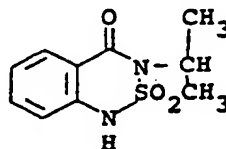
In practice, the compounds (I) may be used as active ingredients for the herbicidal purpose at a rate of 2 to 100 g per are, preferably 5 to 30 g per are. It is also preferable to use them as the active ingredients at a rate of 0.2 to 10 g per are in the application of the compounds (I) as fungicides. As is clearly shown in the examples described hereinafter, the compounds (I) have low phytotoxicity to useful crops as well as excellent herbicidal and fungicidal activities. The compounds (I) are therefore very superior as selective herbicides.

The application of the compounds (I) as herbicides or fungicides will be illustrated in the following Examples wherein the following compounds were used as control:

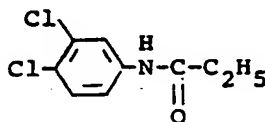
#### Fluometuron:



#### Basagran:

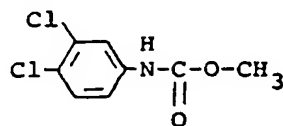


#### Propanil:

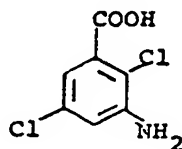




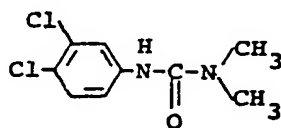
Sweep:



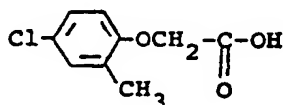
Chloramben:



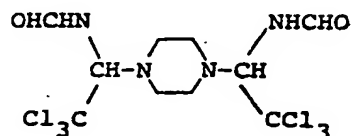
Diulon:



MCP:



Triforine:



## EXAMPLE A

Test on herbicidal activity by post-emergence treatment:—

- 5 An emulsifiable concentrate of the test compound was prepared according to Preparation Example 2 and sprayed onto the test plants of 5 to 15 cm in height grown in a greenhouse so as to give the amount of the active ingredient per unit area as shown in Tables 2 and 3. The spray volume was 5 liters per are. Three weeks after the application, the herbicidal activity or the crop damage was evaluated by comparing the fresh weight of the aerial part of the treated plot with that of the untreated plot on the basis of the following criteria:

5

Rating value	Fresh weight (ratio to untreated plot) (%)	
	Weed	Useful plant
5	0	0 - 39
4	1 - 10	40 - 59
3	11 - 20	60 - 79
2	21 - 40	80 - 89
1	41 - 60	90 - 99
0	61 - 100	100

wherein the rating values 4 and 5 are generally regarded as sufficient to control weeds and the values 0 and 1 as appropriate to protect useful plants. The above evaluation standard is also applied in Examples as described hereinafter.

The results are shown in Tables 2 and 3.

TABLE 2

Compound No.	Dosage (weight of active ingredient, g/are)	Herbicidal activity			
		Barnyard grass	Radish	Redroot pigweed	Common lambs-quarters
1	20	4	5	5	5
2	20	4	5	5	5
3	20	5	5	5	5
4	20	5	5	5	5
5	20	4	5	5	5
6	20	4	5	5	5
7	20	4	5	5	5
8	20	4	5	5	5
9	20	4	5	5	5
10	20	4	4	5	5
11	20	4	5	5	5
12	20	5	5	5	5
13	20	4	5	5	5
14	20	3	5	5	5
15	20	4	5	5	5
16	20	4	5	5	5
17	20	3	5	5	5
18	20	5	5	5	5
19	20	4	5	5	5
20	20	5	5	5	5
21	20	4	5	5	5
22	20	4	5	5	5
23	20	4	5	5	5
24	20	4	5	5	5
25	20	5	5	5	5
26	20	5	5	5	5
27	20	4	5	5	5
28	20	4	5	5	4
29	20	4	5	5	5
30	20	4	5	5	5
31	20	4	5	5	5
32	20	4	5	5	5
33	20	4	5	4	5
34	20	4	4	5	5
35	20	4	5	5	5
36	20	5	5	5	5
37	20	5	5	5	5
38	20	5	5	5	5
39	20	5	4	5	5
40	20	4	5	5	5
41	20	5	5	5	5
42	20	4	5	5	5
43	20	5	5	5	5
44	20	5	5	5	5
45	20	4	5	5	5
46	20	4	5	5	5
47	20	4	4	5	5
48	20	4	5	5	5
49	20	4	4	5	5
50	20	4	5	5	5
51	20	4	5	5	5
52	20	4	4	4	5
53	20	4	4	5	5
54	20	4	4	5	5
55	20	5	5	5	5

TABLE 2 (Continued)

Compound No.	Dosage (weight of active ingredient, g/are)	Herbicidal activity			
		Barnyard grass	Radish	Redroot pigweed	Common lambs-quarters
56	20	5	5	5	5
57	20	5	5	5	5
58	20	5	5	5	5
59	20	4	5	5	4
60	20	5	5	5	5
61	20	4	5	5	5
62	20	4	5	5	5
63	20	4	5	5	5
64	20	4	5	5	5
65	20	5	5	5	5
66	20	5	5	5	5
67	20	5	5	5	5
68	20	4	5	5	5
69	20	4	5	5	5
70	20	4	5	5	5
71	20	4	5	5	5
72	20	4	5	5	5
73	20	5	5	5	5
74	20	5	5	5	5
75	20	3	5	5	4
76	20	3	5	4	5
78	20	3	4	5	4
79	20	5	5	5	5
80	20	3	5	5	5
81	20	3	5	5	5
82	20	4	5	5	5
83	20	5	5	5	5
84	20	5	5	5	5
85	20	4	5	5	5
86	20	5	5	5	5
87	20	4	5	5	5
88	20	4	5	5	5
89	20	4	5	5	5
90	20	5	5	5	5
91	20	4	5	5	5
92	20	4	5	5	5
93	20	4	5	5	5
94	20	5	5	5	5
95	20	4	5	5	5
96	20	4	5	5	5
97	20	3	5	5	5
98	20	4	5	5	5
99	20	5	5	5	5
100	20	5	5	5	5
101	20	5	5	5	5
102	20	5	5	5	5
103	20	4	5	5	5
104	20	4	5	5	5
105	20	5	5	5	5
106	20	4	5	5	5
107	20	3	5	5	5
108	20	3	5	5	5
109	20	5	5	5	5
110	20	5	5	5	5
111	20	3	4	5	5
112	20	5	5	5	5

TABLE 2 (Continued)

Compound No.	Dosage (weight of active ingredient g/are)	Herbicidal activity			
		Barnyard grass	Radish	Redroot pigweed	Common lambs-quarters
113	20	4	5	5	5
114	20	5	5	5	5
115	20	4	5	5	5
116	20	5	5	5	5
117	20	5	4	5	5
118	20	3	4	5	4
120	20	3	4	4	5
122	20	3	4	4	5
123	20	3	3	5	4
124	20	3	4	5	4
127	20	4	5	5	5
128	20	3	5	4	4
129	20	4	5	5	5
130	20	4	5	5	5
132	20	3	5	4	5
134	20	5	5	5	5
135	20	4	5	5	5
136	20	3	4	5	5
137	20	5	5	5	5
138	20	5	5	5	5
139	20	4	5	5	5
140	20	5	5	5	5
141	20	5	5	5	5
143	20	3	4	5	5
144	20	4	5	5	5
145	20	3	5	5	5
146	20	5	5	5	5
147	20	3	4	5	5
148	20	5	5	5	5
149	20	3	5	5	5
151	20	4	5	5	5
152	20	4	5	5	5
153	20	3	5	4	5
156	20	5	5	5	5
157	20	4	5	5	5
158	20	4	5	5	5
159	20	3	4	5	4
160	20	5	5	5	5
161	20	5	5	5	5
162	20	5	5	5	5
163	20	5	5	5	5
164	20	5	5	5	5
165	20	5	5	5	5
167	20	3	5	5	5
169	20	5	5	5	5
172	20	5	5	5	5
174	20	5	5	5	5
175	20	4	5	5	5
176	20	3	5	5	5
177	20	3	4	4	5
179	20	3	5	4	5
182	20	5	5	5	5
183	20	5	5	5	5
184	20	5	5	5	5
185	20	5	5	5	5
186	20	5	5	5	5
187	20	5	5	5	5

TABLE 2 (Continued)

Compound No.	Dosage (weight of active ingredient, g/are)	Herbicide activity			
		Barnyard grass	Radi sh	Redroot pigweed	Common lambs-quarters
188	20	5	5	5	5
189	20	5	5	5	5
191	20	5	5	5	5
192	20	5	5	5	5
193	20	5	5	5	5
194	20	5	5	5	5
196	20	5	5	5	5
197	20	4	4	5	5
198	20	5	5	5	5
199	20	4	5	5	5
200	20	5	5	5	5
201	20	5	5	5	5
202	20	5	5	5	5
203	20	5	5	5	5

TABLE 3

Compound No.	Dosage (weight of active ingredient, g/are)	Useful plant					Weed									
		Cotton	Soybean	Sugar-beet	Wheat	Corn	Rice	Radish	Redroot pigweed	Common lambs-quarters	Jimson weed	Black night-shade	Annual morning-glory	Barn-yard grass	Large crab-grass	Green fox-tail
3	20 10 5	1 1 -	1 0 -	1 1 -	3 1 -	2 1 -	0 0 -	5 5 5	5 5 4	5 5 5	5 5 5	5 5 5	5 5 4	5 4 3	5 4 3	4 4 3
4	20 10 5	1 0 -	0 0 -	1 1 -	- - -	- - -	0 0 -	5 5 5	5 5 4	5 5 5	5 5 5	5 5 5	5 4 3	5 4 4	5 4 4	4 4 3
7	20 10 5	0 0 -	0 0 -	- - -	1 0 -	- - -	0 0 -	5 5 4	5 5 4	5 5 5	5 5 5	5 5 5	5 4 3	5 4 3	5 4 3	4 3 3
12	20 10 5	0 0 +	- - -	- - -	- - -	1 0 -	0 0 -	5 4 4	5 5 4	5 5 5	5 5 5	5 5 5	5 4 3	5 4 4	5 4 4	4 3 3
18	20 10 5	1 1 -	1 0 -	2 1 -	1 0 -	1 0 -	0 0 -	5 5 5	5 5 4	5 5 5	5 5 5	5 5 5	5 4 3	5 4 3	5 3 3	4 4 3
25	20 10 5	0 0 -	1 0 -	- - -	- - -	- - -	2 1 -	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 3	5 4 3	5 5 4
36	20 10 5	1 1 -	1 0 -	1 0 -	0 0 -	2 1 -	0 0 -	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 4	5 4 3	5 4 3	4 3 3
37	20 10 5	1 0 -	0 0 -	- - -	- - -	1 0 -	1 0 -	5 5 5	5 5 4	5 5 5	5 5 5	5 5 5	5 4 3	5 5 4	5 4 3	5 4 3

TABLE 3 (Continued)

Compound No.	Dosage (weight of active ingredient, g/are)	Useful plant					Weed									
		Cotton	Soybean	Sugar-beet	Wheat	Corn	Rice	Radish	Redroot pigweed	Common lambs-quarters	Jimson weed	Black night-shade	Annual morning-glory	Barn-yard grass	Large crab-grass	Green fox-tail
38	20 10 5	0 0 -	0 0 -	- - -	2 1 -	- - -	1 0 -	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 4 3	5 5 4	5 4 3	5 4 4
41	20 10 5	1 0 -	2 0 -	- - -	1 0 -	1 0 -	1 1 -	5 5 5	5 5 4	5 5 5	5 5 5	5 5 5	5 5 4	5 4 3	5 3 3	5 4 4
43	20 10 5	1 0 -	0 0 -	- - -	- - -	2 0 -	0 0 -	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 4	5 5 4	5 4 4	5 4 4
45	20 10 5	2 1 -	0 0 -	- - -	0 0 -	1 0 -	0 0 -	5 5 5	5 5 4	5 5 4	5 5 5	5 5 5	5 5 5	4 3 3	4 3 3	4 4 3
50	20 10 5	1 0 -	0 0 -	1 1 -	0 0 -	- - -	0 0 -	5 5 4	5 5 5	5 5 4	5 5 5	5 5 4	5 4 4	4 4 3	4 4 3	5 3 3
55	20 10 5	1 1 -	1 0 -	1 1 -	0 0 -	2 1 -	2 1 -	5 5 4	5 5 4	5 5 5	5 5 5	5 4 4	5 5 4	5 4 4	5 4 3	5 5 3
57	20 10 5	0 0 -	0 0 -	1 0 -	- - -	0 0 -	0 0 -	5 5 5	5 5 4	5 5 5	5 5 5	5 5 4	5 5 4	5 4 4	5 4 3	5 5 4
60	20 10 5	1 1 -	1 1 -	- - -	1 0 -	2 0 -	0 0 -	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 3	5 4 3	5 5 3	4 4 3







TABLE 3 (Continued)

TABLE 3 (Continued)

Compound No.	Dosage (weight of active ingredient, g/are)	Useful plant					Weed									
							Radish	Redroot pigweed	Common lambs-quarters	Jimson weed	Black night-shade	Annual morning-glory	Barn-yard grass	Large crab-grass	Green fox-tail	
		Cotton	Soybean	Sugar-beet	Wheat	Corn										Rice
164	20 10 5	— — —	1 0 —	— — —	— — —	— — —	— — —	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 4 3	5 3 3	5 4 3
165	20 10 5	— — —	0 0 —	— — —	1 0 —	— — —	0 0 —	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 4 4	5 4 3	5 4 4
172	20 10 5	— — —	— — —	1 0 —	— — —	— — —	0 0 —	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 3	5 3 3	5 4 3
184	20 10 5	1 0 —	— — —	— — —	— — —	1 0 —	— — —	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 4 3	5 4 3	5 4 4
188	20 10 5	— — —	1 0 —	— — —	— — —	— — —	— — —	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 4 4	4 4 3	5 4 3
189	20 10 5	— — —	1 0 —	— — —	— — —	— — —	— — —	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 4 4	5 4 3	5 4 4
192	20 10 5	1 0 —	— — —	— — —	1 0 —	— — —	— — —	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 4 3	5 4 3	5 4 3
196	20 10 5	— — —	— — —	1 0 —	1 0 —	— — —	— — —	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 4 3	5 4 3	5 4 4
198	20 10 5	— — —	0 0 —	— — —	— — —	— — —	0 0 —	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	5 5 5	4 3 3	4 4 3	5 4 3

TABLE 3 (Continued)

Compound No.	Dosage (weight of active ingredient, g/are)	Useful plant					Weed									
		Cotton	Soybean	Sugar-beet	Wheat	Corn	Rice	Radish	Redroot pigweed	Common lambs-quarters	Jimson weed	Black night-shade	Annual morning-glory	Bam-yard grass	Large crab-grass	Green fox-tail
200	20	—	—	1	—	0	—	5	5	5	5	5	5	5	4	5
	10	—	—	0	—	0	—	5	5	5	5	5	5	4	4	4
	5	—	—	—	—	—	—	5	5	5	5	5	5	3	5	3
203	20	1	—	0	—	—	—	5	5	5	5	5	5	4	5	4
	10	0	—	0	—	—	—	5	5	5	5	5	5	3	4	4
	5	—	—	—	—	—	—	5	5	5	5	5	4	3	3	3
Fluometuron	20	2	—	—	—	—	—	5	5	5	5	5	5	5	5	5
	10	1	—	—	—	—	—	5	5	5	5	5	5	4	4	5
	5	—	—	—	—	—	—	4	5	5	5	5	5	3	4	4
Basagran	20	—	0	—	—	—	—	5	3	5	5	5	4	2	1	0
	10	—	0	—	—	—	—	5	3	5	5	5	2	1	0	0
	5	—	—	—	—	—	—	5	1	4	5	4	1	0	0	0
Propanil	20	5	5	5	4	5	1	5	4	5	5	4	3	5	4	5
	10	5	3	5	3	4	0	4	4	5	4	3	3	4	4	3
	5	—	—	—	—	—	—	2	3	3	4	3	1	3	2	1
Sweep	20	5	5	5	3	4	1	5	5	5	5	5	3	5	5	4
	10	3	3	5	1	3	0	4	5	4	4	3	3	4	2	4
	5	—	—	—	—	—	—	4	4	4	3	3	2	1	2	1

## EXAMPLE B

Pre-emergence application test:—

Wagner's pots (1/5000 are) were each filled with upland soil, and the seeds of cotton, soybean, corn, wheat, redroot pigweed (*Amaranthus retroflexus*), common lambsquarters (*Chenopodium alubum*), common purslane (*Portulaca oleracea*) and large brabgrass (*Digitaria sanguinalis*) were separately showed in the pots. The former four plants were sowed 2 to 3 cm deep, and the latter four weeds 0.3 to 0.5 cm deep. 5

A required amount of the wettable powder of the test compound was dispersed in water and sprayed to the whole surface of the soil. The amount of the active ingredient was 30 to 50 g per are. 10  
After the spraying, the test plants were grown in a greenhouse for 3 weeks, and the herbicidal activity and the crop damage were examined. 10

The results are shown in Table 4.

TABLE 4

Compound No.	Dosage (weight of active ingredient, g/are)	Useful plant				Weed			
		Cotton	Soybean	Corn	Wheat	Redroot pigweed	Common lambs-quarters	Common purslane	Large crab-grass
7	50 30	0 -	0 -	0 -	0 -	4 3	5 4	5 4	4 3
25	50 30	0 -	0 -	0 -	0 -	5 4	5 3	5 3	3 3
36	50 30	0 -	0 -	0 -	0 -	5 4	5 4	4 4	3 3
38	50 30	0 -	0 -	0 -	0 -	5 4	4 3	5 4	4 4
43	50 30	0 -	0 -	0 -	0 -	5 4	5 4	5 5	4 3
45	50 30	0 -	0 -	0 -	0 -	5 4	4 3	5 5	3 3
50	50 30	0 -	0 -	0 -	0 -	5 5	5 5	4 3	4 4
55	50 30	0 -	0 -	0 -	0 -	5 5	5 5	5 5	5 4
60	50 30	0 -	0 -	0 -	0 -	5 5	5 4	5 5	4 3
73	50 30	0 -	0 -	0 -	0 -	5 5	5 5	5 5	4 3
80	50 30	0 -	0 -	0 -	0 -	5 4	5 3	5 4	3 3

TABLE 4 (Continued)

Compound No.	Dosage (weight of active ingredient, g/are)	Useful plant				Weed			
		Cotton	Soybean	Corn	Wheat	Redroot pigweed	Common lambs-quarters	Common purslane	Large crab-grass
81	50 30	0 -	0 -	0 -	0 -	5 3	4 4	4 3	3 3
112	50 30	0 -	0 -	0 -	0 -	5 3	5 4	5 4	4 3
137	50 30	0 -	0 -	0 -	0 -	5 4	5 4	5 4	3 3
158	50 30	0 -	0 -	0 -	0 -	5 5	5 5	5 5	4 3
161	50 30	0 -	0 -	0 -	0 -	5 5	5 5	5 5	4 4
163	50 30	0 -	0 -	0 -	0 -	5 5	5 5	5 5	4 4
172	50 30	0 -	0 -	0 -	0 -	5 4	5 5	5 5	4 3
185	50 30	0 -	0 -	0 -	0 -	5 3	5 4	5 4	4 3
196	50 30	0 -	0 -	0 -	0 -	5 4	5 4	4 4	3 3
201	50 30	0 -	0 -	0 -	0 -	5 5	5 5	5 5	4 3
Chloremben	20 10	4 -	0 -	3 -	1 -	2 2	2 1	5 5	3 2
Diuron	20 10	3 -	5 -	5 -	5 -	5 5	5 5	5 5	5 4

## EXAMPLE C

Paddy rice test:—

Wagner's pots (1/5000 are) were each filled with 1.5 kg of paddy field soil containing seeds of weeds and kept under flooded conditions. The seedlings of rice plants at the third-leaf stage were transplanted thereto and grown for 15 days in a greenhouse. Thereafter, the required amount of the emulsifiable concentrate of the test compound was diluted with water and applied to the water under the flooded conditions. Twenty-five days after the application, the degree of damage caused by the test compound was examined according to the standard in Example A. The broad-leaved weeds used were pickerel weed (*Monochoria vaginalis*), false pimpernel (*Linderna pyxidaria*) and toothcup (*Rotala indica* Koehne) and nutsedge was *Cyperus difformis*.

The results are shown in Table 5.



TABLE 5

Compound No.	Dosage (weight of active ingredient, g/are)	Useful plant	Weed		
		Rice plant	Bam-yard grass	Broad-leaved weeds	Nut-sedge
7	40	0	3	5	5
	20	0	3	5	5
18	40	0	4	5	5
	20	0	3	5	5
25	40	0	3	5	5
	20	0	3	4	4
38	40	0	3	5	5
	20	0	3	5	4
41	40	0	5	5	5
	20	0	5	5	5
43	40	0	5	5	5
	20	0	3	5	5
50	40	0	3	5	5
	20	0	3	4	4
55	40	0	5	5	5
	20	0	5	5	5
57	40	0	5	5	5
	20	0	4	5	5
60	40	1	5	5	5
	20	0	4	5	5
68	40	0	5	5	5
	20	0	4	5	5
79	40	0	4	5	5
	20	0	3	5	5
82	40	0	4	5	5
	20	0	3	5	5
85	40	0	3	5	5
	20	0	3	5	4
91	40	0	3	5	5
	20	0	3	5	5
95	40	0	3	5	5
	20	0	3	5	5
100	40	0	4	5	5
	20	0	4	5	5
104	40	0	4	5	5
	20	0	4	5	5
109	40	0	5	5	5
	20	0	4	5	5

TABLE 5 (Continued)

Compound No.	Dosage (weight of active ingredient, g/are)	Useful plant	Weed		
		Rice plant	Bam-yard grass	Broad-leaved weeds	Nut-sedge
115	40	0	4	5	5
	20	0	4	5	5
135	40	0	3	5	5
	20	0	3	5	5
139	40	0	3	5	5
	20	0	3	5	4
144	40	0	4	5	5
	20	0	3	5	5
152	40	0	4	5	5
	20	0	3	5	5
161	40	0	5	5	5
	20	0	4	5	5
164	40	0	5	5	5
	20	0	4	5	5
175	40	0	4	5	5
	20	0	3	5	5
182	40	0	4	5	5
	20	0	4	5	5
186	40	0	4	5	5
	20	0	3	5	5
188	40	0	3	5	5
	20	0	3	5	5
193	40	0	4	5	5
	20	0	3	5	5
198	40	0	4	5	5
	20	0	3	5	5
201	40	0	4	5	5
	20	0	4	5	5
MCP	20	3	4	5	5
	10	3	3	5	5
Propanil	20	1	3	4	2
	10	0	3	3	0
Swep	20	0	3	5	5
	10	0	2	4	4

## EXAMPLE D

Protective test on leaf rust of wheat:—

Wheat (var.: Nohrin No. 61) was grown up to the one-leaf stage in a flower pot of 9 cm in diameter, inoculated with *Puccinia recondita* and placed in a humid chamber for 18 hours. Then, each of the susceptible concentrates containing the test compounds was diluted with water and sprayed on the test plants at a rate of 15 ml/pot. The test plants were placed in a chamber kept at 20°C and grown under a fluorescent lamp for additional 10 days. The infection state was observed, and the disease severity was calculated on the basis of the following standard:

Disease index		Infection state	
	0	No infectious spot on the examined leaf	
5	1	Less than 10 infectious spots on the examined leaf	5
	2	11—20 infectious spots on the examined leaf	
	4	21—50 infectious spots on the examined leaf	
10	8	More than 51 infectious spots on the examined leaf	10

$$\text{Disease severity (\%)} = \frac{\sum (\text{Disease index}) \times (\text{Number of leaves})}{8 \times (\text{Total number of leaves examined})} \times 100$$

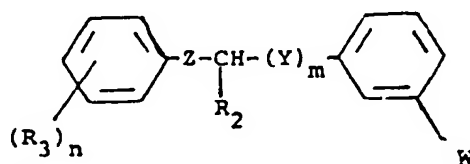
The results are shown in Table 6.

TABLE 6

Compound No.	Concentration of active ingredient (ppm)	Disease severity (%)
1	500	8.0
3	500	0.0
5	500	10.0
7	500	0.0
9	500	8.8
10	500	9.5
12	500	8.8
15	500	0.0
16	500	0.0
17	500	7.5
24	500	0.0
27	500	0.0
31	500	0.0
35	500	3.4
37	500	0.0
38	500	0.0
39	500	6.7
44	500	0.0
45	500	2.2
50	500	0.0
63	500	4.8
65	500	0.0
68	500	0.0
71	500	8.0
72	500	0.0
73	500	6.4
74	500	0.0
80	500	7.4
85	500	0.0
90	500	0.0
91	500	5.2
95	500	3.4
97	500	3.2
99	500	10.0
105	500	4.5
107	500	10.0
108	500	0.0
109	500	0.0
134	500	0.0
137	500	9.8
139	500	0.0
140	500	1.3
147	500	3.7
148	500	0.0
151	500	0.0
152	500	0.0
156	500	0.0
157	500	2.6
161	500	3.2
162	500	0.0
165	500	4.0
174	500	0.0
179	500	9.8
188	500	0.0
190	500	4.7
193	500	0.0
Triforine	500	8.5
Untreated	—	100.0

## CLAIMS

1. A compound of the formula:



wherein W is a group of the formula



NH<sub>2</sub>, NCO or NO<sub>2</sub> wherein R<sub>1</sub> is a lower alkyl, C<sub>3</sub>—C<sub>5</sub> cycloalkyl, lower alkenyl, lower haloalkyl, lower cyanoalkyl, lower alkoxy, C<sub>3</sub>—C<sub>5</sub> cycloalkyloxy, lower alkynyl, lower haloalkyloxy, lower alkenyloxy, lower alkynyloxy, lower alkylthio, lower alkenylthio, lower alkynylthio, C<sub>1</sub>—C<sub>2</sub> alkoxy(C<sub>1</sub>—C<sub>2</sub>)alkyl, C<sub>1</sub>—C<sub>2</sub> alkylthio(C<sub>1</sub>—C<sub>2</sub>)alkyl, lower haloalkynyloxy, C<sub>1</sub>—C<sub>2</sub> alkoxy(C<sub>1</sub>—C<sub>2</sub>)alkyloxy or 2-furfuryloxy group R<sub>2</sub> is a hydrogen atom or a C<sub>1</sub>—C<sub>2</sub> alkyl group, each R<sub>3</sub> independently is a hydrogen or halogen atom or a C<sub>1</sub>—C<sub>4</sub> alkyl, C<sub>1</sub>—C<sub>3</sub> alkoxy, C<sub>1</sub>—C<sub>3</sub> haloalkyl or C<sub>1</sub>—C<sub>3</sub> alkylthio, group n is an integer from 1 to 5 provided that n is not greater than 3 where R<sub>2</sub> is other than fluorine, Y is oxygen or sulfur, m is 0 or 1 and Z is an oxygen or sulfur atom a straight or branched C<sub>1</sub>—C<sub>6</sub> alkylene group, or a straight or branched C<sub>1</sub>—C<sub>5</sub> alkylene group having one or more atoms of oxygen and/or sulfur at the end of and/or within the carbon chain.

2. A compound as claimed in claim 1 wherein m is 0 and Z is oxygen or sulfur.

3. A compound as claimed in claim 1 or claim 2 wherein m is 1 and Z is a C<sub>1</sub>—C<sub>3</sub> alkylene group.

4. A compound as claimed in any one of claims 1 to 3, wherein n is 1 and R<sub>3</sub> is present at the 3- or 4-position, wherein n is 2 and the two R<sub>3</sub> substituents are present at the 3- and 4-positions or at the 3- and 5-positions, or wherein n is 3 and the three R<sub>3</sub> substituents are present at the 3-, 4- and 5-positions.

5. A compound as claimed in any one of the preceding claims, wherein W is a group of the formula



wherein R<sub>1</sub> is as defined in claim 1.

6. A compound as claimed in claim 5, wherein R<sub>1</sub> is a group of the formula —WR'<sub>1</sub>, wherein R'<sub>1</sub> is a lower alkyl, C<sub>3</sub>—C<sub>5</sub> cycloalkyl, lower haloalkyl, lower alkenyl, lower alkynyl, lower haloalkynyl, C<sub>1</sub>—C<sub>2</sub> alkoxy(C<sub>1</sub>—C<sub>2</sub>)alkyl, C<sub>1</sub>—C<sub>2</sub> alkylthio(C<sub>1</sub>—C<sub>2</sub>)alkyl or 2-furfuryl group and W is an oxygen or sulfur atom.

7. A compound as claimed in claim 5, wherein R<sub>1</sub> is a lower alkyl, C<sub>3</sub>—C<sub>5</sub> cycloalkyl, lower alkenyl, lower haloalkyl, lower cyanoalkyl, lower alkynyl, C<sub>1</sub>—C<sub>2</sub> alkoxy(C<sub>1</sub>—C<sub>2</sub>)alkyl or C<sub>1</sub>—C<sub>2</sub> alkylthio(C<sub>1</sub>—C<sub>2</sub>)alkyl group.

8. A compound as claimed in claim 5, wherein R<sub>1</sub> is a lower alkyl, C<sub>3</sub>—C<sub>5</sub> cycloalkyl, lower alkenyl or lower alkoxy group.

9. A compound as claimed in claim 8 wherein m is 1 and Z is a C<sub>1</sub>—C<sub>3</sub> alkylene group.

10. Any one of compounds 1 to 207 as herein identified.

11. N-[3-(3,4-Dichlorophenylethyloxy)phenyl]propionamide.

12. N-[3-(3,4-Dichlorophenylpropyloxy)phenyl]propionamide.

13. N-[3-(3,5-Dichlorophenylmethyloxy)phenyl]propionamide.

14. N-[3-(2-Chlorophenylethyloxy)phenyl]propionamide.

15. N-[3-(2-Methylphenylpropyloxy)phenyl]propionamide.

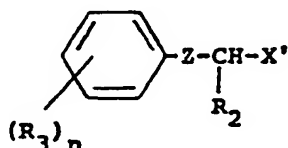
16. N-[3-(3,4-Dichlorophenylpropyloxy)phenyl]cyclopropylamide.

17. N-[3-(3-Chlorophenylethyloxy)phenyl]cyclopropylamide.

18. A compound as claimed in any one of claims 1 to 4, wherein W is a group of the formula NO<sub>2</sub>.

19. Any one of compounds X—1, X—2, or X—3 as herein defined.

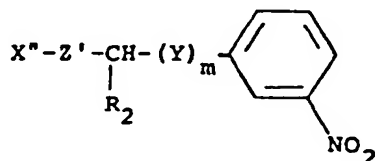
20. A process for preparing a compound as claimed in claim 18 or claim 19, which process comprises reacting a halide of the formula:



wherein  $R_2$ ,  $R_3$ ,  $Z$  and  $n$  are each as defined in claim 1 and  $X'$  is a halogen atom with *m*-nitrophenol or *m*-nitrothiophenol.

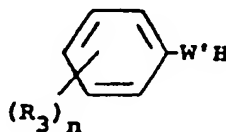
21. A process for preparing a compound as claimed in claim 18 or claim 19, which process comprises reacting a nitrobenzene derivative of the formula:

5



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wherein  $R_2$ ,  $Y$  and  $m$  are each as defined in claim 1,  $Z'$  is a straight or branched  $C_1-C_5$  alkylene group or a straight or branched  $C_1-C_5$  alkylene group having one or more atoms of oxygen and/or sulfur inside the carbon chain, and  $X''$  is a halogen atom with a phenol or thiophenol derivative of the formula:



10 wherein  $R_3$  and  $n$  are each as defined in claim 1, and  $W'$  is oxygen or sulfur. 10  
22. A process for preparing a compound as claimed in claim 18 or claim 19, substantially as hereinbefore described.

23. A compound as claimed in claim 18, when prepared by a process as claimed in any one of claims 20 to 22.

15 24. A compound as claimed in any one of claims 1 to 4, wherein  $W$  is a group of the formula  $NH_2$ . 15  
25. Any one of compounds II—1, to II—118 as defined herein.

26. A process for preparing a compound as claimed in claim 24 or claim 25, which process comprises reducing a compound as claimed in claim 18, claim 19, or claim 23.

20 27. A process for preparing a compound as claimed in claim 24, substantially as hereinbefore described. 20  
28. A compound as claimed in claim 24 or claim 25, when prepared by a process as claimed in claim 26 or claim 27.

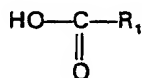
25 29. A compound as claimed in any one of claims 1 to 4, wherein  $W$  is a group of the formula  $-NCO$ . 25  
30. Any one of compounds IV—1 to IV—12 as defined herein.

31. A process for preparing a compound as claimed in claim 29 or claim 30, which process comprises treating a compound as claimed in any one of claims 24, 25 or 28 with phosgene.

30 32. A process for preparing a compound as claimed in claim 29 substantially as hereinbefore described. 30  
33. A compound as claimed in claim 29 or claim 30, when prepared by a process as claimed in claim 31 or claim 33.

34. A process for preparing a compound as claimed in any one of claims 5 to 17, which comprises treating a compound as claimed in any one of claims 24, 25 or 28 with a reactive derivative of an acid of the formula

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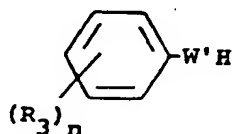


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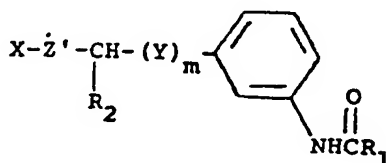
wherein  $R_1$  is as defined in claim 1.

35. A process for preparing a compound as claimed in any one of claims 5 to 17 wherein  $R_1$  is a group of the formula  $-WR'_1$  and  $W$  and  $R'_1$  are each as defined in claim 7, which method comprises treating a compound as claimed in any one of claims 29, 30 or 33 with an alcohol or thiol derivative of the formula  $HWR'_1$ , wherein  $W$  and  $R'_1$  are each as defined above. 40

36. A process for preparing a compound as claimed in any one of claims 5 to 17 wherein  $Z$  is a group of the formula,  $-W' - Z' -$ ,  $W'$  being an oxygen or sulfur atom and  $Z'$  being a straight or branched  $C_1-C_5$  alkylene group or a straight or branched  $C_1-C_5$  alkylene group having one or more atoms of oxygen and/or sulfur within the carbon chain, which comprises treating a phenol or thiophenol derivative of the formula: 45

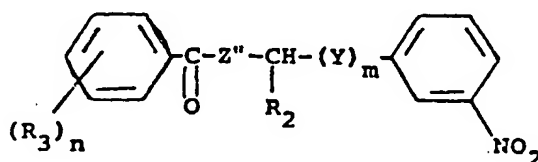


wherein  $R_3$  and  $n$  are each defined in claim 1,  $W'$  is as defined above and  $M$  is an alkali metal, with a halide of the formula:

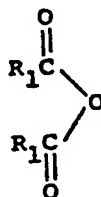


- 5 wherein  $R_1$ ,  $R_2$ ,  $Y$  and  $m$  are each as defined in claim 1,  $Z'$  is as defined above, and  $X$  is a halogen atom. 5

37. A process for preparing a compound as claimed in any one of claims 5 to 17 wherein  $Z$  is a group of the formula  $CH_2-Z''$ ,  $Z''$  being a direct linkage or a  $C_1-C_3$  alkylene group, which comprises catalytically reducing a nitrobenzene derivative of the formula:

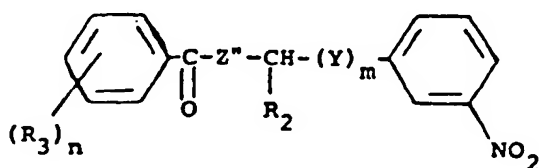


- 10 wherein  $R_2$ ,  $R_3$ ,  $Y$ ,  $m$  and  $n$  are each as defined in claim 1 and  $Z''$  is as defined above in the presence of an acid anhydride of the formula: 10

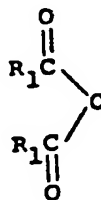


wherein  $R_1$  is as defined in claim 1.

38. A method of preparing a compound as claimed in claim 5 substantially as hereinbefore described in any one of the foregoing specific Examples. 15
39. A compound as claimed in any one of claims 5 to 17, when prepared by a process as claimed in any one of claims 34 to 38.
40. A herbicide or fungicide composition, which comprises a compound as claimed in any one of claims 5 to 17, or claim 39, together with an inert carrier.
41. A composition as claimed in claim 40 wherein the concentration of the said compound is from 1 to 80% by weight of the composition. 20
42. A method of controlling or preventing the growths of weeds or fungi, which comprises applying to the weeds or fungi, or to a substrate on which the growth of weeds or fungi is to be prevented, a compound as claimed in any one of claims 5 to 17, or claim 39, or a composition as claimed in claim 40 or claim 41. 25
43. A method of controlling or preventing the growth of weeds as claimed in claim 42, wherein the said compound or composition is applied to an area wherein cotton, soybean, rice, corn, wheat or sugarbeet is cultivated.
44. A method of controlling fungi as claimed in claim 42 wherein the said compound or composition is applied to wheat or oat rust. 30
45. Use of the compound according to claim 1 as a herbicide and/or fungicide.
46. A process for preparing the compound according to claim 1 wherein  $Z$  is  $-CO-Z''-$ , which comprises reducing catalytically a nitrobenzene derivative of the formula:



wherein  $R_2$ ,  $R_3$ ,  $Y$ ,  $m$  and  $n$  are each as defined in claim 1 and  $Z''$  is null or straight or branched  $C_1-C_5$  alkylene, in the presence of an aliphatic acid anhydride of the formula:



5 wherein  $R_1$  is as defined in claim 1.

47. A compound as claimed in any one of claims 18, 24 or 29, wherein  $Z$  and  $m$  are as defined in claim 2.

48. A process as claimed in any one of claims 20, 26, or 31 wherein  $Z$  and  $m$  are as defined in claim 2.

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